

=> fil reg

FILE 'REGISTRY' ENTERED AT 16:56:20 ON 07 SEP 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 SEP 2004 HIGHEST RN 740796-45-6

DICTIONARY FILE UPDATES: 6 SEP 2004 HIGHEST RN 740796-45-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

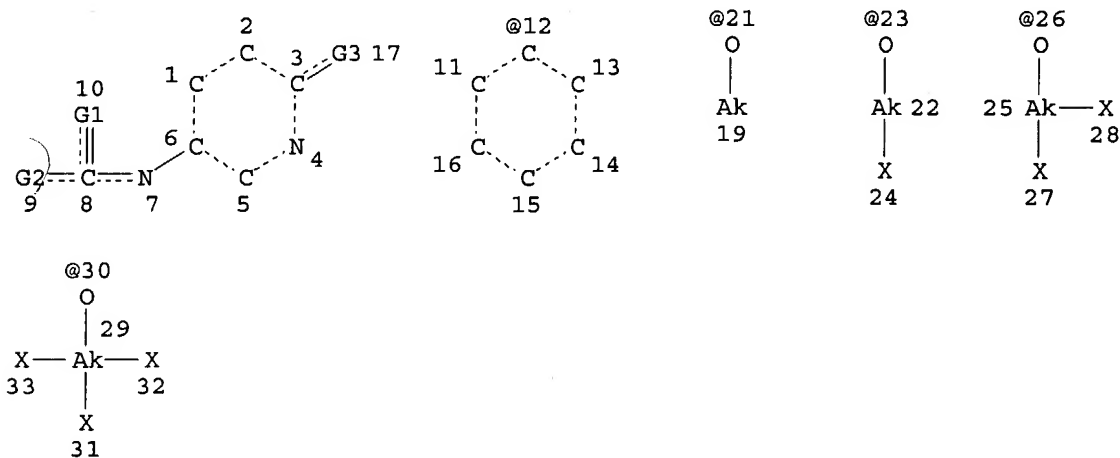
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que 119

L14

STR



VAR G1=O/S/N

VAR G2=HY/12

VAR G3=X/AK/21/23/26/30

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 19

CONNECT IS E2 RC AT 22

CONNECT IS E3 RC AT 25

CONNECT IS E4 RC AT 29

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

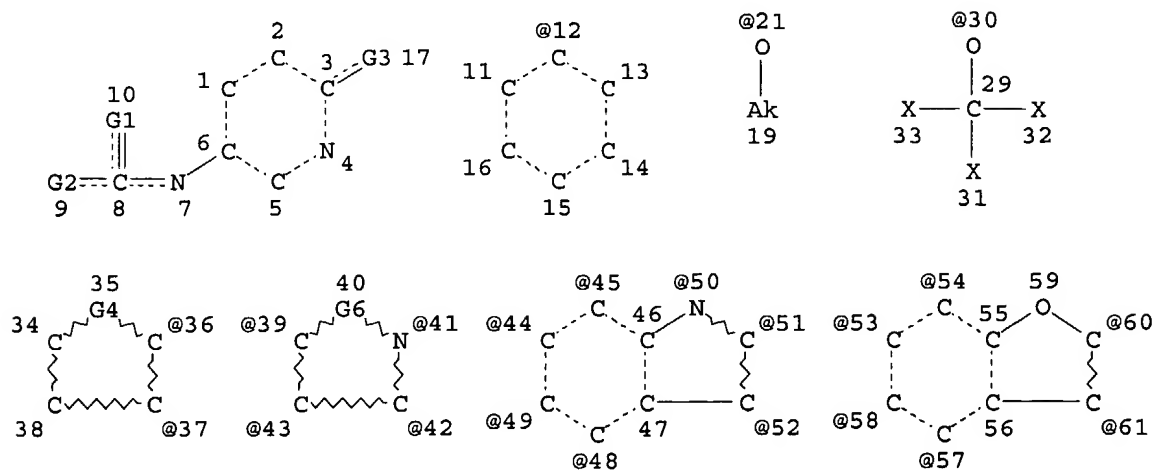
RSPEC 1 11

NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE

L16 1406 SEA FILE=REGISTRY SSS FUL L14

L17 STR



VAR G1=O/S/N  
 VAR G2=36/37/41/42/43/39/51/52/48/49/44/45/50/60/61/57/58/53/54/12  
 VAR G3=X/AK/21/30  
 VAR G4=O/S  
 VAR G6=N/S

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 7  
 CONNECT IS E1 RC AT 19  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 1 11 34 39 44 53  
 NUMBER OF NODES IS 52

STEREO ATTRIBUTES: NONE

L19 532 SEA FILE=REGISTRY SUB=L16 SSS FUL L17

100.0% PROCESSED 1398 ITERATIONS  
 SEARCH TIME: 00.00.01

532 ANSWERS

=> d his

(FILE 'HOME' ENTERED AT 16:10:48 ON 07 SEP 2004)  
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 16:11:32 ON 07 SEP 2004

E WICKENDEN A/AU  
 L1 30 S E3,E4,E8-E10  
 E GROSS M/AU  
 L2 376 S E3  
 E GROSS MICHAEL/AU  
 L3 182 S E3,E9-E11  
 L4 2 S E32  
 E MCNAUGHTON/AU  
 L5 1 S E44  
 L6 2 S E129  
 L7 20 S E132-E135  
 E MC NAUGHTON/AU  
 E ICAGEN/AP,CS  
 L8 53 S E4-E11

L9 3 S (US20040157829 OR US6737422 OR US6372767)/PN OR US99-147221#/  
 L10 3 S L1-L8 AND L9  
 SEL RN

FILE 'REGISTRY' ENTERED AT 16:15:06 ON 07 SEP 2004

L11 88 S E1-E88  
 L12 82 S L11 AND NC5/ES  
 L13 82 S L12 AND 46.156.30/RID  
 L14 STR  
 L15 38 S L14  
 L16 1406 S L14 FUL  
 SAV L16 ZINNA770/A  
 L17 STR L14  
 L18 21 S L17 SAM SUB=L16  
 L19 532 S L17 FUL SUB=L16  
 SAV L19 ZINNA770A/A  
 L20 1 S POTASSIUM/CN  
 L21 85 S K/MF

FILE 'HCAPLUS' ENTERED AT 16:28:17 ON 07 SEP 2004

L22 167 S L19  
 L23 2 S L22 AND L1-L10  
 SEL RN

FILE 'REGISTRY' ENTERED AT 16:28:49 ON 07 SEP 2004

L24 83 S E89-E171  
 L25 70 S L24 AND L19  
 L26 13 S L24 NOT L25  
 L27 3 S L26 AND NR>=2  
 L28 73 S L25,L27  
 SAV L28 ZINNA770B/A

FILE 'HCAPLUS' ENTERED AT 16:30:01 ON 07 SEP 2004

E ION CHANNEL/CT  
 L29 5115 S E16,E17  
 L30 1650 S E34  
 E E31+ALL  
 L31 1917 S E1  
 E E4+ALL  
 L32 106 S E4,E5  
 L33 23562 S E3  
 L34 2 S L22 AND L29-L33  
 L35 0 S L22 AND L20,L21  
 L36 4 S L22 AND ION?(L) CHANNEL?  
 L37 2 S L36 NOT L23  
 SEL DN AN 2  
 L38 1 S E1-E3 AND L37  
 L39 3 S L34,L38  
 L40 2 S (K OR POTASSIUM) (L) CHANNEL? AND L22  
 L41 3 S VOLTAGE DEPENDENT AND L22  
 L42 3 S L39-L41  
 L43 90 S L19 (L) THU/RL  
 L44 47 S L19 (L) (PAC OR PKT OR DMA)/RL  
 L45 107 S L22 AND (PHARMACEUT? OR PHARMACOL?)/SC,SX  
 L46 64 S L43-L45 AND (PD<=20000804 OR PRD<=20000804 OR AD<=20000804)  
 L47 4 S NERVOUS SYSTEM(L) (CENTRAL OR PERIPHERAL) AND L46  
 E NERVOUS SYSTEM/CT  
 L48 0 S L46 AND E30-E57  
 L49 0 S L46 AND E182-E184  
 L50 8 S L46 AND E3+OLD,NT,PFT,RT  
 E NERVOUS SYSTEM, DISEASE/CT  
 L51 12 S L46 AND E3+OLD,NT,PFT,RT  
 L52 13 S L42,L47,L50,L51

L53 11 S L52 NOT L1-L10  
L54 51 S L46 NOT L52  
L55 47 S L54 AND P/DT  
E NERVOUS SYSTEM AGENTS/CT  
E E3+ALL  
L56 27 S L22 AND E4,E3+NT  
L57 13 S L22 AND E188+OLD,NT,PFT,RT  
L58 21 S L22 AND E189+OLD,NT,PFT,RT  
L59 30 S L22 AND E190+OLD,NT,PFT,RT  
L60 23 S L56-L59 AND (PD<=20000804 OR PRD<=20000804 OR AD<=20000804)  
L61 11 S L60 NOT L52  
L62 24 S L52,L61  
L63 24 S L62 AND L1-L10,L22,L23,L29-L62  
L64 22 S L63 NOT L1-L10  
L65 2 S L63 NOT L64  
SEL HIT RN L64

FILE 'REGISTRY' ENTERED AT 16:45:55 ON 07 SEP 2004

L66 65 S E1-E65  
L67 16 S L66 AND (C12H7CL2N3O3 OR C14H13N3O3 OR C14H11N3O2 OR C13H9BRC

FILE 'HCAOLD' ENTERED AT 16:54:33 ON 07 SEP 2004

L68 0 S L67

FILE 'HCAPLUS' ENTERED AT 16:54:37 ON 07 SEP 2004

L69 10 S L67  
L70 9 S L69 AND (PD<=20000804 OR PRD<=20000804 OR AD<=20000804)  
L71 1 S L69 NOT L70  
L72 10 S L69-L71

FILE 'REGISTRY' ENTERED AT 16:56:20 ON 07 SEP 2004

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 16:56:32 ON 07 SEP 2004

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FILE COVERS 1907 - 7 Sep 2004 VOL 141 ISS 11

FILE LAST UPDATED: 6 Sep 2004 (20040906/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d l72 all hitstr tot

L72 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:335065 HCAPLUS  
DN 138:368620  
ED Entered STN: 02 May 2003  
TI Preparation of 2-chloro-5-nitrobenzamides as lipid modulators for

treatment of osteoporosis and diabetes

IN Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi, Sachiko; Kitayama, Ken  
 PA Sankyo Company, Limited, Japan  
 SO PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

IC ICM C07C233-65

ICS C07C233-66; C07C233-67; C07C233-75; C07C233-76; C07C233-80;  
 C07C233-81; C07C311-21; C07C311-46; C07C311-58; C07C311-64;  
 C07C317-42; C07C323-42; C07C323-43; C07C335-20; C07C335-26;  
 C07C335-28; C07D213-40; C07D213-75; C07D215-40

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
 Section cross-reference(s): 1

FAN.CNT 1

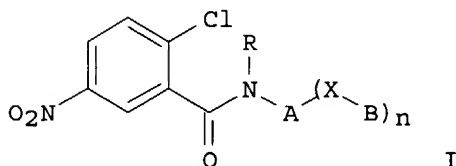
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003035602	A1	20030501	WO 2002-JP11068	20021024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003201271	A2	20030718	JP 2002-310549	20021025
PRAI JP 2001-327189	A	20011025		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003035602	ICM	C07C233-65
	ICS	C07C233-66; C07C233-67; C07C233-75; C07C233-76; C07C233-80; C07C233-81; C07C311-21; C07C311-46; C07C311-58; C07C311-64; C07C317-42; C07C323-42; C07C323-43; C07C335-20; C07C335-26; C07C335-28; C07D213-40; C07D213-75; C07D215-40

OS MARPAT 138:368620

GI



AB The title compds. I [wherein A = (un)substituted Ph, naphthyl, acenaphthenyl, Py, (iso)quinolyl, pyrimidyl, (benzo)furyl, pyranyl, chromanyl, (benzo)thienyl, pyrrolyl, (iso)indolyl, imidazolyl, pyrazolyl, pyridazinyl, pyrazinyl, (iso)oxazolyl, pyrrolidinyl, piperidyl, piperazyl, benzoxazolyl, benzoisooxazolyl, (iso)thiazolyl, benzothiazolyl, or biphenyl; B = (un)substituted aryl, cycloalkyl, or heterocyclyl; R = H or alkyl; X = a bond, O, S, CH<sub>2</sub>, CO, NH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, CONH, NHCO, or OCH<sub>2</sub>; n = 0-1] and pharmaceutically acceptable salts thereof are prepared as lipid modulators for treatment of osteoporosis and diabetes. For example, 4-phenylaniline hydrochloride was reacted with 2-chloro-5-nitrobenzoyl

chloride in pyridine to afford N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide. The above N-(4-phenylphenyl)-2-chloro-5-nitrobenzamide showed IC50 of 1.9 nM against human PPAR  $\gamma$ . I are useful for the treatment of osteoporosis, and diabetes, etc.

ST benzamide lipid modulator treatment osteoporosis diabetes prepn human PPAR  
IT Lipids, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(hyperlipidemia; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT Lipids, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(metabolism, disorder; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT Antiarteriosclerotics

Antidiabetic agents

Arteriosclerosis

Diabetes mellitus

Human

Hypolipemic agents

Osteoporosis

(preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT Peroxisome proliferator-activated receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\gamma$ ; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT	22978-55-8P	312717-15-0P	346723-04-4P	372093-97-5P	372094-16-1P
	372094-49-0P	372094-58-1P	372094-59-2P	372094-89-8P	372095-04-0P
	372095-05-1P	372095-06-2P	372095-14-2P	372095-25-5P	372095-35-7P
	372095-36-8P	372095-37-9P	372095-46-0P	372095-47-1P	372095-56-2P
	372095-57-3P	372095-59-5P	372095-66-4P	372095-67-5P	372095-68-6P
	372095-69-7P	372095-83-5P	372095-86-8P	372095-96-0P	372095-97-1P
	372096-03-2P	372096-14-5P	372096-16-7P	372096-21-4P	372096-22-5P
	372096-28-1P	518981-50-5P	518981-55-0P	518981-60-7P	518981-63-0P
	518981-66-3P	518981-68-5P	518981-70-9P	518981-72-1P	518981-77-6P
	518981-81-2P	518981-83-4P	518981-85-6P	518981-89-0P	518991-67-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT	30456-06-5P	292638-37-0P	300712-72-5P	300860-79-1P	301236-55-5P
	301859-89-2P	302576-31-4P	302952-02-9P	303099-35-6P	307536-44-3P
	307539-50-0P	307540-33-6P	307545-09-1P	312614-91-8P	312717-17-2P
	313233-80-6P	313233-81-7P	313250-62-3P	313373-89-6P	313393-87-2P
	313404-00-1P	313516-59-5P	313516-61-9P	313516-62-0P	313516-65-3P
	313516-66-4P	313516-67-5P	313528-45-9P	313549-81-4P	313960-75-7P
	315194-71-9P	319429-47-5P	324778-90-7P	325738-43-0P	326016-46-0P
	328254-84-8P	328259-12-7P	328259-13-8P	328259-16-1P	329939-92-6P
	329939-94-8P	346693-71-8P	346721-92-4P	349402-02-4P	349405-58-9P
	349405-68-1P	349615-14-1P	352700-49-3P	372093-11-3P	372093-14-6P
	372093-17-9P	372093-19-1P	372093-22-6P	372093-25-9P	372093-27-1P
	372093-36-2P	372093-39-5P	372093-43-1P	372093-47-5P	372093-53-3P
	372093-55-5P	372093-56-6P	372093-59-9P	372093-65-7P	372093-69-1P
	372093-72-6P	372093-75-9P	372093-77-1P	372093-83-9P	372093-86-2P
	372093-89-5P	372093-92-0P	372093-95-3P	372093-99-7P	372094-00-3P
	372094-02-5P	372094-08-1P	372094-10-5P	372094-13-8P	372094-18-3P
	372094-20-7P	372094-22-9P	<b>372094-23-0P</b>	372094-25-2P	
	372094-26-3P	372094-28-5P	372094-29-6P	372094-31-0P	372094-33-2P
	372094-37-6P	372094-39-8P	372094-41-2P	372094-44-5P	372094-47-8P
	372094-51-4P	372094-53-6P	372094-55-8P	372094-57-0P	372094-60-5P
	372094-61-6P	372094-62-7P	372094-63-8P	372094-64-9P	372094-65-0P
	372094-67-2P	372094-71-8P	372094-73-0P	372094-75-2P	372094-76-3P

372094-78-5P	372094-80-9P	372094-81-0P	372094-82-1P	372094-83-2P
372094-84-3P	372094-85-4P	372094-86-5P	372094-87-6P	372094-88-7P
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372095-24-4P	372095-26-6P	372095-27-7P	372095-28-8P	372095-29-9P
372095-30-2P	372095-31-3P	372095-32-4P	372095-33-5P	372095-34-6P
372095-38-0P	372095-39-1P	372095-40-4P	372095-41-5P	372095-42-6P
372095-43-7P	372095-44-8P	372095-45-9P	372095-48-2P	372095-49-3P
372095-50-6P	372095-52-8P	372095-53-9P	372095-54-0P	372095-55-1P
372095-58-4P	372095-60-8P	372095-61-9P	372095-62-0P	372095-63-1P
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372095-87-9P	372095-88-0P	372095-89-1P	372095-90-4P	372095-91-5P
372095-92-6P	372095-94-8P	372095-95-9P	372095-98-2P	372095-99-3P
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372096-06-5P	372096-07-6P	372096-08-7P	372096-09-8P	372096-10-1P
372096-11-2P	372096-12-3P	372096-13-4P	372096-15-6P	372096-17-8P
372096-18-9P	372096-19-0P	372096-20-3P	372096-23-6P	372096-24-7P
372096-25-8P	372096-26-9P	372096-27-0P	372096-29-2P	372096-30-5P
372096-31-6P	372096-32-7P	372096-33-8P	372096-34-9P	372096-35-0P
372096-36-1P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT	372096-37-2P	372096-38-3P	372096-39-4P	372096-40-7P	372096-41-8P
	372096-42-9P	439682-46-9P	461042-49-9P	518981-34-5P	518981-35-6P
	518981-36-7P	518981-37-8P	518981-38-9P	518981-43-6P	518981-44-7P
	518981-45-8P	518981-46-9P	518981-47-0P	518981-48-1P	518981-49-2P
	518981-51-6P	518981-52-7P	518981-53-8P	518981-54-9P	518981-56-1P
	518981-57-2P	518981-58-3P	518981-59-4P	518981-61-8P	518981-62-9P
	518981-64-1P	518981-65-2P	518981-67-4P	518981-69-6P	518981-71-0P
	518981-73-2P	518981-75-4P	518981-79-8P	518981-80-1P	518981-82-3P
	518981-84-5P	518981-86-7P	518981-87-8P	518981-88-9P	518981-90-3P
	518981-91-4P	518981-92-5P	518981-93-6P	518981-94-7P	518981-95-8P
	518981-96-9P	518981-97-0P	518981-98-1P	518991-69-0P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT	6332-77-0P	30235-27-9P	51344-13-9P	62345-76-0P	91703-23-0P
	98395-61-0P	101351-09-1P	116290-77-8P	147591-61-5P	147591-62-6P
	158985-26-3P	176665-67-1P	198627-94-0P	223785-93-1P	280107-35-9P
	280107-44-0P	325979-29-1P	359714-00-4P	372096-43-0P	372096-44-1P
	372096-45-2P	372096-46-3P	372096-47-4P	372096-48-5P	372096-49-6P
	372096-50-9P	518981-99-2P	518982-00-8P	518982-01-9P	518982-02-0P
	518982-03-1P	518982-04-2P	518982-05-3P		

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT	56-05-3	57-67-0, Sulfaguanidine	61-80-3	66-25-1, n-Hexanal	68-35-9
	72-14-0	75-07-0, Acetaldehyde, reactions	75-36-5, Acetyl chloride		
	75-65-0, tert-Butanol, reactions	79-22-1	80-32-0	92-36-4	92-54-6
	92-87-5, [1,1'-Biphenyl]-4,4'-diamine	93-05-0	94-09-7	94-70-2	
	95-24-9	98-09-9, Benzenesulfonyl chloride	98-59-9, 4-Toluenesulfonyl		

chloride 98-88-4, Benzoyl chloride 99-03-6 99-09-2 99-92-3  
 99-98-9 100-01-6, reactions 100-02-7, reactions 101-79-1 103-69-5  
 103-71-9, Phenylisocyanate, reactions 103-72-0, Phenylisothiocyanate  
 106-49-0, reactions 107-15-3, 1,2-Ethanediamine, reactions 108-24-7,  
 Acetic acid anhydride 108-44-1, reactions 108-52-1 109-01-3  
 110-62-3, n-Pentanal 120-43-4 123-30-8 123-38-6, Propanal, reactions  
 123-72-8, n-Butanal 124-13-0, Octanal 124-63-0, Methanesulfonyl  
 chloride 127-69-5 136-95-8, 2-Benzothiazolamine 139-59-3 142-25-6  
 144-82-1 144-83-2 339-43-5 348-40-3 350-46-9 461-82-5  
 462-08-8, 3-Pyridinamine 504-24-5, 4-Pyridinamine 515-64-0 526-08-9  
 532-55-8, Benzoylisothiocyanate 556-61-6, Methyl thioisocyanate  
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RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

IT 521105-19-1 521105-20-4 521105-21-5, 3: PN: WO03035602 SEQID: 3  
 unclaimed DNA 521105-22-6, 4: PN: WO03035602 SEQID: 4 unclaimed DNA

RL: PRP (Properties)

(unclaimed nucleotide sequence; preparation of 2-chloro-5-nitrobenzamides as lipid modulators for treatment of osteoporosis and diabetes)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

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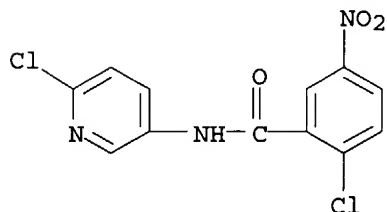
IT 372094-23-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chloro(nitro)benzamides as lipid modulators for treatment of osteoporosis and diabetes)

RN 372094-23-0 HCAPLUS

CN Benzamide, 2-chloro-N-(6-chloro-3-pyridinyl)-5-nitro- (9CI) (CA INDEX NAME)



L72 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:816614 HCAPLUS

DN 135:357944

ED Entered STN: 09 Nov 2001

TI Preparation of nitrophenylcarboxamide derivatives as peroxisome proliferator-activated receptor (PPAR)  $\gamma$  modulators

IN Amemiya, Yoshiya; Wakabayashi, Kenji; Takaishi, Sachiko; Fukuda, Chie

PA Sankyo Company, Ltd., Japan

SO PCT Int. Appl., 186 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

IC ICM C07C233-65

ICS C07C233-66; C07C233-75; C07C233-80; C07C233-81; C07C271-28;  
C07C311-08; C07C311-21; C07C311-58; C07C311-64; C07D207-325;  
C07D213-40; C07D213-75; C07D213-76; C07D213-81; C07D213-82;

C07D215-38; C07D215-40; C07D217-22; C07D231-40  
 CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1, 25

FAN.CNT 1

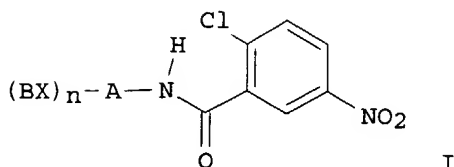
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PI	WO 2001083427	A1	20011108	WO 2001-JP3655	20010426 <--
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	AU 2001052612	A5	20011112	AU 2001-52612	20010426 <--
	EP 1277729	A1	20030122	EP 2001-925984	20010426 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
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	NO 2002005142	A	20021227	NO 2002-5142	20021025 <--
PRAI	JP 2000-129565	A	20000428	<--	
	JP 2001-60366	A	20010305		
	WO 2001-JP3655	W	20010426		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001083427	ICM ICS	C07C233-65 C07C233-66; C07C233-75; C07C233-80; C07C233-81; C07C271-28; C07C311-08; C07C311-21; C07C311-58; C07C311-64; C07D207-325; C07D213-40; C07D213-75; C07D213-76; C07D213-81; C07D213-82; C07D215-38; C07D215-40; C07D217-22; C07D231-40
US 2003134859	ECLA	C07C233/65; C07D239/42C2; C07D239/46C3; C07D239/54C4; C07D241/20; C07D241/26; C07D243/38; C07D263/50; C07D263/58F; C07D277/40; C07D277/46; C07D277/50; C07D277/66; C07D277/82; C07D285/12D6F1; C07D285/12D6D3; C07D285/14D; C07D295/12A1; C07D295/18B2B; C07D295/18B1B; C07D295/20B1; C07D295/20D1B; C07D295/22C2; C07D295/22D3; C07D311/24; C07D333/38; C07D333/68; C07D417/04; C07D417/04; C07D471/04; C07D521/00B2E; C07C233/75; C07C233/80; C07C233/81; C07C255/58; C07C271/28; C07C275/14; C07C275/28; C07C275/40; C07C275/50; C07C311/08; C07C311/21; C07C311/46; C07C311/48; C07C311/58; C07C; C07C335/16; C07C335/20; C07C335/26; C07C335/28; C07D207/32B2; C07D213/40B; C07D213/75B4; C07D213/75B2; C07D213/75B8; C07D213/75D3; C07D213/76D; C07D213/82D; C07D215/38; C07D215/38C; C07D215/40; C07D217/22; C07D231/14; C07D231/40; C07D231/42; C07D231/56B; C07D235/30; C07D023/20; C07D239/42B1

OS MARPAT 135:357944

GI



AB The title compds. I [A represents Ph, etc.; B represents aryl, etc.; X represents oxygen, etc.; and n is 0 or 1] are prepared I are remedies for

involutional osteoporosis which inhibit the accelerated differentiation of adipocytes and promote the formation and differentiation of osteoblasts from stem cells; I are also remedies for diabetes. In an in vitro test for PPAR  $\gamma$  modulating activity, N-[4-(4-methylpiperazin-1-ylcarbonyl)phenyl]-(2-chloro-5-nitrophenyl)carboxamide showed IC50 value of 0.6 nM.

- ST PPAR gamma modulator nitrophenylcarboxamide prepn;  
piperazinylcarbonylphenylchloronitrophenylcarboxamide prepn PPAR gamma modulator; osteoporosis remedy nitrophenylcarboxamide prepn; diabetes remedy nitrophenylcarboxamide prepn
- IT Nerve, disease  
(diabetic neuropathy; preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Cardiovascular system  
(disease; preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Metabolism, animal  
(disorder, lipid; preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Bone, disease  
(fracture; preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Anti-inflammatory agents  
Antidiabetic agents  
Antitumor agents  
(nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Pancreas, disease  
(pancreatitis; preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Anemia (disease)  
Antiobesity agents  
Arteriosclerosis  
Autoimmune disease  
Bone, disease  
Bone formation  
Diabetes mellitus  
Glaucoma (disease)  
Graves' disease  
Hypertension  
Kidney, disease  
Leukemia  
Liver, disease  
Osteoporosis  
Rickets  
(preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Peroxisome proliferator-activated receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(preparation of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)
- IT Retinoids  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(related diseases; preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT Acidosis  
(uric acid; preparation and effect of nitrophenylcarboxamide derivs. with PPAR  $\gamma$  modulating activity)
- IT 69-93-2, Uric acid, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(disease; preparation and effect of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

IT 359714-00-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation and effect of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

IT 312717-15-0P 313516-66-4P 372093-25-9P 372093-65-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

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 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

IT 372096-02-1P 372096-03-2P 372096-04-3P 372096-05-4P 372096-06-5P

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

IT 56-05-3, 2-Amino-4,6-dichloropyrimidine 57-67-0, Sulfaguanidine  
 61-80-3, 2-Amino-5-chlorobenzoxazole 62-56-6, Thiourea, reactions  
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 93-05-0, 4-Diethylaminoaniline 94-09-7, Ethyl 4-aminobenzoate 94-70-2,  
 2-Ethoxyaniline 95-24-9, 2-Amino-6-chlorobenzothiazole 98-09-9,  
 Benzenesulfonyl chloride 98-59-9, 4-Toluenesulfonyl chloride 98-88-4,  
 Benzoyl chloride 99-03-6, 3-Acetylaniline 99-09-2, 3-Nitroaniline  
 99-92-3, 4-Acetylaniline 99-98-9, 4-Dimethylaminoaniline 100-01-6,  
 4-Nitroaniline, reactions 103-71-9, Phenylisocyanate, reactions  
 103-72-0, Phenylisothiocyanate 104-10-9, 4-(2-Hydroxyethyl)aniline  
 106-49-0, 4-Methylaniline, reactions 108-44-1, reactions 108-52-1,  
 2-Amino-4-methylpyrimidine 110-62-3, Valeraldehyde 123-30-8,  
 4-Aminophenol 123-38-6, Propionaldehyde, reactions 123-72-8,  
 Butylaldehyde 124-13-0, Octanal 124-63-0, Methanesulfonyl chloride  
 127-69-5, Sulfaisoxazole 136-95-8, 2-Aminobenzothiazole 144-82-1,  
 Sulfamethizole 339-43-5, 1-Butyl-3-sulfanilylurea 348-40-3,  
 2-Amino-6-fluorobenzothiazole 350-03-8, 3-Acetylpyridine 404-71-7,  
 3-Fluorophenylisocyanate 461-82-5, 4-Trifluoromethoxyaniline 462-08-8,  
 3-Aminopyridine 504-24-5, 4-Aminopyridine 515-64-0, Sulfisomidine  
 526-08-9, Sulfaphenazole 556-61-6, Methylthioisocyanate 578-54-1,  
 2-Ethylaniline 578-66-5, 8-Aminoquinoline 580-17-6, 3-Aminoquinoline  
 587-02-0, 3-Ethylaniline 589-16-2, 4-Ethylaniline 591-27-5,  
 3-Aminophenol 594-44-5, Ethanesulfonyl chloride 611-34-7,  
 5-Aminoquinoline 651-06-9, Sulfamer 696-59-3, 2,5-  
 Dimethoxytetrahydrofuran 722-92-9 723-46-6, Sulfamethoxazole  
 729-99-7 767-64-6, 4-Amino-2,1,3-benzothiadiazole 873-74-5,  
 4-Cyanoaniline 874-60-2, 4-Methylbenzoyl chloride 950-58-3,  
 3,5-Di-tert-butyl-4-hydroxyaniline 1118-02-1, Trimethylsilylisocyanate  
 1141-40-8 1211-40-1 1532-84-9, 1-Aminoisoquinoline 1572-10-7,  
 3-Amino-5-phenylpyrazole 1603-41-4, 2-Amino-5-methylpyridine  
 1603-91-4, 2-Amino-4-methylthiazole 1622-57-7, 2-Amino-1-  
 methylbenzimidazole 1747-60-0, 2-Amino-6-methoxybenzothiazole  
 1824-81-3, 2-Amino-6-methylpyridine 1885-29-6, 2-Cyanoaniline  
 2051-79-8 2104-09-8 2113-55-5, 3-Phenylaniline hydrochloride  
 2113-61-3, 4-Phenylaniline hydrochloride 2185-92-4, 2-Phenylaniline  
 hydrochloride 2221-00-3 2237-30-1, 3-Cyanoaniline 2359-60-6,  
 N-(4-Aminophenyl)piperidine 2516-96-3, 2-Chloro-5-nitrobenzoic acid  
 2524-67-6, N-(4-Aminophenyl)morpholine 2536-91-6, 2-Amino-6-  
 methylbenzothiazole 2696-84-6, 4-Propylaniline 3173-56-6,  
 Benzylisocyanate 3320-86-3, 2-Nitrophenylisocyanate 3575-32-4,  
 3-Dimethylaminoaniline dihydrochloride 3673-53-8 4005-51-0,  
 2-Amino-1,3,4-thiadiazole 4214-75-9, 2-Amino-3-nitropyridine  
 4344-55-2, 4-Butoxyaniline 4461-33-0, Benzoylisocyanate 4487-50-7,  
 2-Amino-4-nitropyridine 4506-71-2 4518-10-9, Methyl 3-aminobenzoate  
 4657-93-6, 5-Aminoacenaphthene 5049-61-6, 2-Aminopyrazine 5266-85-3,  
 2-Isopropyl-6-methylaniline 5330-67-6 5330-79-0 5350-93-6,  
 5-Amino-2-chloropyridine 5413-85-4, 5-Amino-4,6-dichloropyrimidine  
 5438-70-0, Ethyl 4-aminophenylacetate 5469-69-2, 3-Amino-6-  
 chloropyridazine 5600-21-5 6283-25-6, 2-Chloro-5-nitroaniline

6285-57-0, 2-Amino-6-nitrobenzothiazole 6336-68-1 6380-08-1  
6635-86-5 6945-68-2, 2-Amino-5-bromo-3-nitropyridine 6994-25-8,  
3-Amino-4-ethoxycarbonylpyrazole 7305-71-7, 2-Amino-5-methylthiazole  
7752-82-1, 2-Amino-5-bromopyrimidine 13744-68-8 14386-64-2  
16182-04-0, Ethoxycarbonylisothiocyanate 16298-03-6 16803-95-5  
17452-27-6, 3-Pyridinylisothiocyanate 18908-07-1, 3-  
Methoxyphenylisocyanate 19617-43-7, Ethoxycarbonylisocyanate  
19952-47-7, 2-Amino-4-chlorobenzothiazole 20260-53-1, Nicotinoyl  
chloride hydrochloride 21331-43-1 21626-70-0 22288-78-4, Methyl  
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2,5-Diaminopyridine dihydrochloride 28989-50-6 30235-26-8  
33228-44-3, 4-Pentylaniline 34161-31-4 39178-35-3, Isonicotinoyl  
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52273-77-5 55121-99-8 56302-41-1 56503-96-9 57493-24-0  
59025-55-7, 2,4-Difluorophenylisocyanate 61296-22-8 64415-14-1  
71026-66-9 71574-33-9 76105-84-5 76257-63-1 79834-39-2  
85112-35-2 88327-91-7 91619-38-4 92028-21-2 94838-59-2  
101587-36-4 103740-34-7 104997-09-3 129121-49-9 139705-74-1  
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206182-66-3 214124-37-5 257892-36-7 301548-19-6 312619-47-9  
350684-49-0 357663-87-7 372092-15-4 372096-53-2 372096-54-3  
372096-55-4 372096-56-5, 3-(4-Tolylaminosulfonyl)aniline 372096-57-6  
372096-58-7 372096-59-8 372096-60-1 372096-61-2 372096-62-3  
372096-63-4 372096-64-5 372096-65-6 372096-66-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

IT 325979-29-1P 372096-43-0P, 4-(4-Acetoxyphenyl)aniline 372096-44-1P  
372096-45-2P 372096-46-3P 372096-47-4P 372096-48-5P 372096-49-6P  
372096-50-9P 372096-51-0P 372096-52-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD

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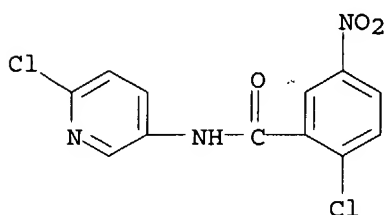
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 (32) Tularik Inc; US 6200995 B1 HCAPLUS  
 (33) Tularik Inc; WO 9938845 A1 1999 HCAPLUS  
 (34) Tularik Inc; WO 9938845 A1 1999 HCAPLUS

IT 372094-23-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of nitrophenylcarboxamide derivs. as PPAR  $\gamma$  modulators)

RN 372094-23-0 HCAPLUS

CN Benzamide, 2-chloro-N-(6-chloro-3-pyridinyl)-5-nitro- (9CI) (CA INDEX NAME)



L72 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:581875 HCAPLUS

DN 135:166825

ED Entered STN: 10 Aug 2001

TI Preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels

IN Garthwaite, Gitti; Selwood, David; Kling, Marcel; Wishart, Grant

PA University College London, UK

SO PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D405-04

ICS C07D231-14; C07D413-04; C07D409-04; C07D417-04; C07D417-14;

C07D401-12; C07D231-56; A61K031-415; A61K031-416; A61K031-4155;

A61P025-00; C07D403-04

CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2001057024	A1	20010809	WO 2001-GB472	20010205 <--	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	EP 1252156	A1	20021030	EP 2001-904082	20010205 <--	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
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PRAI	GB 2000-2666	A	20000204	<--		

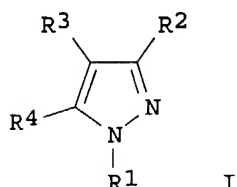
WO 2001-GB472                      W                      20010205

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001057024	ICM	C07D405-04
	ICS	C07D231-14; C07D413-04; C07D409-04; C07D417-04; C07D417-14; C07D401-12; C07D231-56; A61K031-415; A61K031-416; A61K031-4155; A61P025-00; C07D403-04
US 2003171403	ECLA	A61K031/415; A61K031/415H5; A61K031/4155; A61K031/416; A61K031/422; A61K031/4439; C07D231/14; C07D405/04; C07D409/04; C07D413/12; C07D413/12; C07D417/14; C07D417/14

OS      MARPAT 135:166825

GI



AB      The title compds. [I; R1 = H, alkyl, aryl, alkylaryl; R2 = aryl, heteroaryl, 3-6 membered heterocyclyl, etc.; R3, R4 = H, alkyl, alkenyl, etc.; R3 and R4, together with the carbon atoms to which they are attached, form Ph] which are capable of blockading voltage-dependent sodium channels and are useful in particular, in treating glaucoma and multiple sclerosis, were prepared E.g., a multi-step synthesis of I [R1 = CH2Ph; R2 = 5-methoxycarbonyl-2-furyl; R3 and R4, together with the carbon atoms to which they are attached, form Ph] which showed IC50 of 15.5 µM against guanidine flux through sodium channels, was given.

ST      sodium channel blocker pyrazole indazole prepn; neuroprotectant pyrazole indazole prepn; glaucoma pyrazole indazole prepn; multiple sclerosis pyrazole indazole prepn

IT      Cytoprotective agents  
(neuroprotectants; preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

IT      Glaucoma (disease)  
Multiple sclerosis  
(preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

IT      Ion channel blockers  
(sodium; preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

IT      170632-13-0P      170632-42-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

IT      170632-14-1P      170632-31-2P      170632-32-3P      170632-41-4P      170632-43-6P  
170632-44-7P      170632-45-8P      170632-47-0P      170632-48-1P      170632-49-2P  
170632-50-5P      170632-51-6P      194289-89-9P      194290-22-7P      205450-06-2P  
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205643-10-3P      205643-13-6P      205643-14-7P      205643-15-8P      205643-16-9P  
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205643-22-7P      205643-23-8P      205643-24-9P      205643-25-0P      205643-26-1P



205643-27-2P	245035-68-1P	262856-11-1P	262856-15-5P	263016-25-7P
263016-26-8P	263161-21-3P	263336-46-5P	263384-77-6P	263384-78-7P
263384-79-8P	263384-80-1P	263385-10-0P	263385-11-1P	263385-12-2P
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353505-04-1P	353505-06-3P	353505-08-5P	353505-09-6P	353505-10-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

IT 91-56-5, Isatin 108-00-9, N,N-Dimethylethylenediamine 552-16-9, 2-Nitrobenzoic acid 611-13-2 926-64-7, Dimethylaminoacetonitrile 2527-99-3 29601-98-7, N-Benzylhydroxylamine hydrochloride 313545-15-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

IT 4498-67-3P, Indazole-3-carboxylic acid 41354-03-4P, 1-Benzylindazole-3-carboxylic acid 43120-28-1P, Methyl indazole-3-carboxylate 66607-27-0P, 3-Iodo-1H-indazole 173600-03-8P 205643-28-3P, 1-Benzyl-3-iodo-1H-indazole 215789-60-9P, Methyl 2-trimethylstannyl-5-furanoate 353504-65-1P 353504-67-3P 353504-69-5P, 1H-Indazole-3-butanenitrile 353504-71-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

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- (2) Bayer Ag; DE 19642255 A 1998 HCAPLUS
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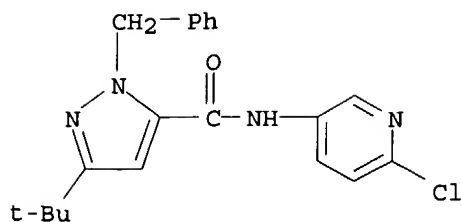
IT 353504-40-2P 353504-41-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

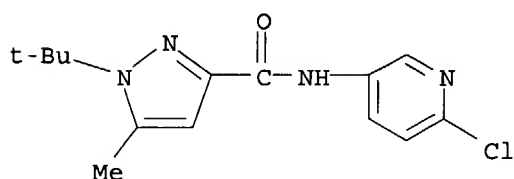
(preparation of pyrazoles and indazoles for blockading voltage dependent sodium channels)

RN 353504-40-2 HCAPLUS

CN 1H-Pyrazole-5-carboxamide, N-(6-chloro-3-pyridinyl)-3-(1,1-dimethylethyl)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 353504-41-3 HCAPLUS  
 CN 1H-Pyrazole-3-carboxamide, N-(6-chloro-3-pyridinyl)-1-(1,1-dimethylethyl)-5-methyl- (9CI) (CA INDEX NAME)



L72 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:565011 HCAPLUS  
 DN 135:137520  
 ED Entered STN: 03 Aug 2001  
 TI Preparation of benzoylamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and the use thereof  
 IN Cai, Sui Xiong; Drewe, John A.  
 PA Cytovia, Inc., USA  
 SO PCT Int. Appl., 90 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07D213-82  
 ICS C07D239-28; C07D241-28; C07D213-75; C07D401-12; C07D307-68; A61K031-44; A61K031-4965; C07D207-34; A61K031-341  
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1, 63

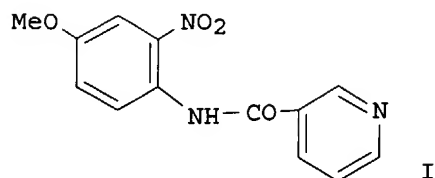
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001055115	A1	20010802	WO 2001-US2478	20010126 <--
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002010185	A1	20020124	US 2001-769420	20010126 <--
	EP 1257536	A1	20021120	EP 2001-903311	20010126 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003520854	T2	20030708	JP 2001-555057	20010126 <--
PRAI	US 2000-177648P	P	20000127	<--	
	WO 2001-US2478	W	20010126		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001055115	ICM	C07D213-82
	ICS	C07D239-28; C07D241-28; C07D213-75; C07D401-12; C07D307-68; A61K031-44; A61K031-4965; C07D207-34; A61K031-341

OS MARPAT 135:137520  
GI



- AB Title compds. [Ar1CONR11Ar; Ar, Ar1 independently = aryl, heteroaryl with less than two nitrogen; R11 = H, alkyl, cycloalkyl, aryl, heteroaryl], or a pharmaceutically acceptable salt, or prodrug thereof are prepared and method of treating a disorder responsive to the induction of apoptosis in mammal in need of treatment. The present invention relates to the discovery that title compds. are activators of caspase and inducers of apoptosis. Title compds. of this invention may be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. Thus, the title compound I was prepared and biol. tested for caspase activity with cancer cell lines T47D and ZR75-1, for induced nuclear fragmentation and mitotic arrest in Jurkat cells, and for cell cycle arrest and apoptosis in solid tumor cell lines.
- ST benzamide prepn caspase activator apoptosis inducer; nicotinamide prepn caspase activator apoptosis inducer; pyrimidinecarboxamide prepn caspase activator apoptosis inducer; pyrrolylcarboxamide prepn caspase activator apoptosis inducer; antitumor agent nicotinamide benzamide pyrimidinecarboxamide pyrrolylcarboxamide
- IT Sarcoma  
(Kaposi's; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Lymphoproliferative disorders  
(Waldenstrom's macroglobulinemia, primary; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Kidney, neoplasm  
(Wilms'; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Leukemia  
(acute lymphocytic; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Anti-inflammatory agents  
Antiarthritics  
Antitumor agents  
(benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides)
- IT Adrenal cortex, neoplasm  
Bladder

Esophagus  
Head  
Lung, neoplasm  
Mammary gland  
Neck, anatomical  
Ovary, neoplasm  
Pancreas, neoplasm  
Prostate gland  
Stomach, neoplasm  
Thyroid gland, neoplasm  
    (carcinoma; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Hyperplasia  
    (cervical; preparation of benzamides, nicotinamides, pyrimidinecarboxamides,  
    pyrrolylcarboxamides, and analogs as activators of caspase and inducers  
    of apoptosis and use thereof)  
IT Uterus, neoplasm  
    (cervix, carcinoma; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Chorion  
    (choriocarcinoma; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Leukemia  
    (chronic lymphocytic; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Leukemia  
    (chronic myelocytic; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Intestine, neoplasm  
    (colon, carcinoma; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Uterus, neoplasm  
    (endometrium, carcinoma; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Mycosis  
    (fungoides; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Leukemia  
    (hairy-cell; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Intestine, disease  
    (inflammatory; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Pancreatic islet of Langerhans  
    (insulinoma, malignant pancreatic; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Skin, neoplasm  
    (mycosis fungoides; preparation of benzamides, nicotinamides,  
    pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators  
    of caspase and inducers of apoptosis and use thereof)  
IT Leukemia  
    (myelogenous, acute; preparation of benzamides, nicotinamides,

- pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Nerve, neoplasm  
(neuroblastoma; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Lymphoma  
(non-Hodgkin's; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Bone, neoplasm  
(osteosarcoma; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Autoimmune disease  
Hodgkin's disease  
Melanoma  
Multiple myeloma  
Polycythemia vera  
Psoriasis  
Rheumatoid arthritis  
Skin, disease  
Skin, neoplasm  
(preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Drug delivery systems  
(prodrugs; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Kidney, neoplasm  
(renal cell carcinoma; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Myoma  
(rhabdomyosarcoma; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Lung, neoplasm  
(small-cell carcinoma; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Animal tissue  
(soft, sarcoma; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Carcinoma  
(testicular; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT Platelet (blood)  
(thrombocytosis, essential; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT 7440-70-2, Calcium, biological studies  
RL: BAC (Biological activity or effector, except adverse); BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)  
(hypercalcemia malignant; preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)
- IT 352228-41-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)

IT 68279-97-0P 224817-07-6P 224817-09-8P 313526-66-8P 320582-20-5P  
 325457-92-9P 329043-12-1P 329219-56-9P 342014-28-2P 352033-37-5P  
 352228-37-6P 352228-38-7P 352228-39-8P 352228-40-1P 352228-42-3P  
 352228-43-4P 352228-44-5P 352228-45-6P 352228-46-7P 352228-47-8P  
 352228-48-9P 352228-49-0P 352228-50-3P 352228-51-4P 352228-52-5P  
 352228-53-6P 352228-54-7P 352228-55-8P 352228-56-9P 352228-57-0P  
 352228-58-1P 352228-59-2P 352228-60-5P 352228-61-6P 352228-62-7P  
 352228-63-8P 352228-64-9P 352228-65-0P 352228-66-1P 352228-67-2P  
 352228-68-3P 352228-69-4P 352228-70-7P 352228-71-8P 352228-72-9P  
 352228-73-0P 352228-74-1P 352228-75-2P 352228-76-3P 352228-77-4P  
 352228-78-5P 352228-79-6P 352228-80-9P 352228-81-0P 352228-82-1P  
 352228-83-2P 352228-84-3P 352228-85-4P 352228-86-5P 352228-87-6P  
 352228-88-7P 352228-89-8P 352228-90-1P 352228-91-2P  
 352228-92-3P 352228-93-4P 352228-94-5P 352228-95-6P  
 352228-96-7P 352228-97-8P 352228-98-9P 352228-99-0P  
 352229-00-6P 352229-01-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)

IT 186322-81-6, caspase

RL: BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)

(preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)

IT 88-74-4, 2-Nitroaniline 89-62-3, 4-Methyl-2-nitroaniline 89-63-4,  
 4-Chloro-2-nitroaniline 96-96-8, 4-Methoxy-2-nitroaniline 97-02-9,  
 2,4-Dinitroaniline 98-97-5, 2-Pyrazinecarboxylic acid 98-98-6,  
 Picolinic acid 102-50-1, 4-Methoxy-2-methylaniline 104-94-9,  
 p-Anisidine 122-01-0, 4-Chlorobenzoyl chloride 364-78-3,  
 4-Fluoro-2-nitroaniline 400-98-6, 2-Nitro-4-trifluoromethylaniline  
 445-03-4, 4-Chloro-2-(trifluoromethyl)aniline 488-93-7, 3-Furoic acid  
 610-81-1, 4-Amino-3-nitrophenol 616-86-4, 4-Ethoxy-2-nitroaniline  
 636-44-2, 2,5-Dimethyl-3-furoic acid 824-40-8, Picolinic acid N-oxide  
 876-08-4, 4-(Chloromethyl)benzoyl chloride 931-03-3,  
 Pyrrole-3-carboxylic acid 1635-84-3, 2-Nitro-4,6-dimethylaniline  
 2369-19-9, 2-Fluoro-5-methylpyridine 2735-04-8, 2,4-Dimethoxyaniline  
 3222-47-7, 6-Methylnicotinic acid 4595-61-3, Pyrimidine-5-carboxylic  
 acid 5049-61-6, Aminopyrazine 5202-85-7, 2-Amino-5-chlorobenzamide  
 5202-89-1, Methyl 2-amino-5-chlorobenzoate 5350-93-6,  
 5-Amino-2-chloropyridine 5413-85-4, 5-Amino-4,6-dichloropyrimidine  
 5470-70-2, Methyl 6-methylnicotinate 5473-00-7 5521-55-1,  
 2-Methyl-5-pyrazinecarboxylic acid 5922-60-1, 2-Amino-5-  
 chlorobenzonitrile 5925-93-9, 2-Amino-5-methyl-benzonitrile 6280-88-2,  
 4-Chloro-2-nitrobenzoic acid 6310-19-6, 4-(tert-Butyl)-2-nitroaniline  
 6393-40-4, 4-Amino-3-nitrobenzonitrile 6628-77-9, 5-Amino-2-methoxy-  
 pyridine 6943-69-7 6945-68-2, 2-Amino-5-bromo-3-nitropyridine  
 6947-94-0, 2-Methyl-3-furoic acid 6972-71-0, 4,5-Dimethyl-2-nitroaniline  
 7595-31-5, 4,5-Dimethoxy-2-nitroaniline 10400-19-8, Nicotinoyl chloride  
 14254-57-0, Isonicotinoyl chloride 20826-04-4, 5-Bromonicotinic acid  
 26697-35-8, 4-Benzoyloxy-2-nitroaniline 26759-46-6, 2-Amino-4,5-  
 dimethoxybenzoic acid methyl ester 26961-27-3, 2-Amino-4,5-  
 dimethoxybenzonitrile 28657-75-2, 2-Amino-4,5-methylenedioxyacetophenone

31431-19-3, 4-Amino-3-nitrobenzophenone 38496-18-3, 2,6-Dichloronicotinic acid 40127-89-7 41667-95-2, 5,6-Dichloronicotinic acid 42521-08-4, 2,6-Dichloropyridine-4-carbonyl chloride 49609-84-9, 2-Chloronicotinoyl chloride 55715-03-2, 4-Bromomethyl-3-nitrobenzoic acid 58757-38-3, 6-Chloronicotinoyl chloride 62790-50-5 70165-31-0, 6-Cyanonicotinic acid 78056-39-0, 4,5-Difluoro-2-nitroaniline 82039-90-5, 4-Amino-5-nitroimidazole 154934-99-3, 2-Chloro-4-(trifluoromethyl)pyrimidine-5-carbonyl chloride 158063-66-2, 4-Trifluoromethylpyridine-3-carboxylic acid 175204-90-7 231291-22-8, 6-(Trifluoromethyl)nicotinic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)

IT 403-45-2P, 6-Fluoronicotinic acid 49668-90-8P 148258-27-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzamides, nicotinamides, pyrimidinecarboxamides, pyrrolylcarboxamides, and analogs as activators of caspase and inducers of apoptosis and use thereof)

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Agrevo Uk Ltd; WO 9525723 A 1995 HCAPLUS
- (2) Amgen Inc; WO 9924404 A 1999 HCAPLUS
- (3) Astrazeneca Uk Ltd; WO 0121598 A 2001 HCAPLUS
- (4) Atwell, G; J MED CHEM 1968, V11(2), P300 HCAPLUS
- (5) Balcells, M; J AGRIC FOOD CHEM 2000, V48(1), P83 HCAPLUS
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- (9) Cooper, G; J CHEM SOC C 1971, 19, P3257 HCAPLUS
- (10) Cytovia Inc; WO 0055114 A 2000 HCAPLUS
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- (13) Ito Kunihiro; WO 0006550 A 2000 HCAPLUS
- (14) Liegeois; J MED CHEM 1993, V36(15), P2107 HCAPLUS
- (15) Monsanto Co; GB 1573576 A 1980 HCAPLUS
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- (17) Palanki, M; J MED CHEM 2000, V43(21), P3995 HCAPLUS
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- (20) Tularik Inc; WO 9936391 A 1999 HCAPLUS
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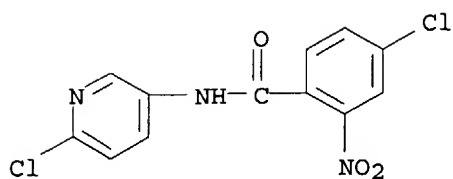
IT 352228-90-1P 352228-92-3P 352228-97-8P  
352229-00-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

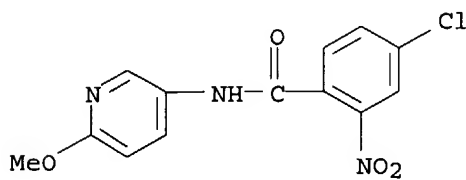
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RN 352228-90-1 HCAPLUS

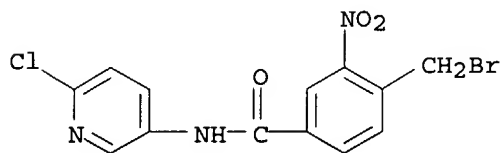
CN Benzamide, 4-chloro-N-(6-chloro-3-pyridinyl)-2-nitro- (9CI) (CA INDEX NAME)



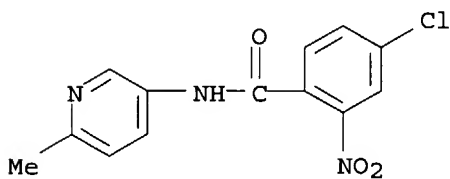
RN 352228-92-3 HCAPLUS  
 CN Benzamide, 4-chloro-N-(6-methoxy-3-pyridinyl)-2-nitro- (9CI) (CA INDEX NAME)



RN 352228-97-8 HCAPLUS  
 CN Benzamide, 4-(bromomethyl)-N-(6-chloro-3-pyridinyl)-3-nitro- (9CI) (CA INDEX NAME)



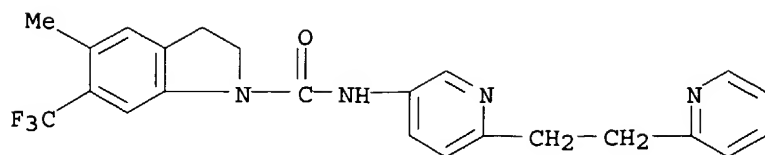
RN 352229-00-6 HCAPLUS  
 CN Benzamide, 4-chloro-N-(6-methyl-3-pyridinyl)-2-nitro- (9CI) (CA INDEX NAME)



L72 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:565897 HCAPLUS  
 DN 133:275849  
 ED Entered STN: 16 Aug 2000  
 TI 1-[2-[(Heteroaryl)methoxy]aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists  
 AU Bromidge, S. M.; Davies, S.; Duckworth, D. M.; Forbes, I. T.; Jones, G. E.; Jones, J.; King, F. D.; Blackburn, T. P.; Holland, V.; Kennett, G. A.; Lightowler, S.; Middlemiss, D. N.; Riley, G. J.; Trail, B.; Wood, M. D.  
 CS Discovery Research, SmithKline Beecham Pharmaceuticals, Harlow, Essex, CM19 5AW, UK  
 SO Bioorganic & Medicinal Chemistry Letters (2000), 10(16), 1867-1870  
 CODEN: BMCLE8; ISSN: 0960-894X



PB Elsevier Science Ltd.  
DT Journal  
LA English  
CC 1-3 (Pharmacology)  
Section cross-reference(s): 27  
AB Bisarylmethoxyethers have been identified with nanomolar 5-HT<sub>2C</sub> affinity and selectivity over both 5-HT<sub>2A</sub> and 5-HT<sub>2B</sub> receptors. Several compds. have potent oral activity in a centrally mediated pharmacodynamic model of 5-HT<sub>2C</sub> function and their therapeutic potential is currently under further investigation. Structure-activity relations are discussed.  
ST aryl carbamoylindoline HT<sub>2C</sub> receptor inverse agonist  
IT 5-HT antagonists  
(5-HT<sub>2C</sub>; [2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists)  
IT 5-HT receptors  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(5-HT<sub>2C</sub>; [2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists)  
IT Structure-activity relationship  
(serotonergic antagonist; [2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists)  
IT 200711-10-0P, SB 247853 200711-11-1P 200711-12-2P 200711-13-3P  
200711-14-4P 216019-22-6P 216019-27-1P 300555-17-3P 300555-18-4P  
300555-19-5P 300555-20-8P 300555-21-9P 300555-22-0P 300555-23-1P  
300555-24-2P 300555-25-3P **300555-26-4P** 300555-27-5P  
300555-28-6P 300555-29-7P 300555-30-0P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
([2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists)  
IT 103-74-2, 2-(2-Hydroxyethyl)pyridine 142-08-5, 2-Hydroxypyridine  
350-46-9, 4-Fluoronitrobenzene 586-98-1, 2-(Hydroxymethyl)pyridine  
1121-60-4, 2-Pyridinecarboxaldehyde 5418-51-9, 2-Hydroxy-5-nitropyridine  
10177-23-8 21684-59-3, Ethyl 2-methyl-5-pyridinecarboxylate 75680-92-1  
200711-22-4, 5-Methyl-6-trifluoromethylindoline  
RL: RCT (Reactant); RACT (Reactant or reagent)  
([2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists)  
IT 85003-06-1P 300555-31-1P 300555-32-2P 300555-33-3P 300555-34-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
([2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists)  
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
RE  
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(2) Bromidge, S; Bioorg Med Chem Lett 2000, V10, P1863 HCAPLUS  
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(4) Bromidge, S; J Med Chem 2000, V43, P1123 HCAPLUS  
(5) Kennett, G; Neuropharmacology 1997, V36, P609 HCAPLUS  
(6) Sohda, T; Chem Pharm Bull 1982, V30, P3580 HCAPLUS  
IT **300555-26-4P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
([2-[(Heteroarylmethoxy)aryl]carbamoyl]indolines are selective and orally active 5-HT<sub>2C</sub> receptor inverse agonists)  
RN 300555-26-4 HCAPLUS  
CN 1H-Indole-1-carboxamide, 2,3-dihydro-5-methyl-N-[6-[2-(2-pyridinyl)ethyl]-3-pyridinyl]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2000:553560 HCAPLUS  
 DN 133:164005  
 ED Entered STN: 11 Aug 2000  
 TI Preparation of substituted N-heterocyclyl benzamides and analogs as  
 G-protein coupled heptahelical receptor binding compounds  
 IN Shiosaki, Kazumi; Fleming, Paul  
 PA Millennium Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 80 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07D213-75  
 ICS C07D213-65; C07D405-14; C07D409-14; C07D213-81; C07D213-82;  
 C07C233-75; A61K031-4427; A61K031-16; A61P025-00; A61P029-00  
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
 Section cross-reference(s): 1

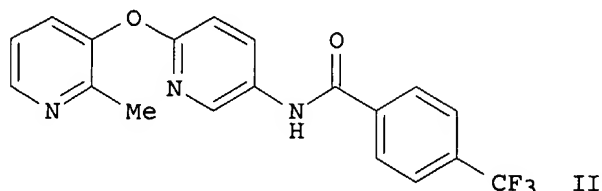
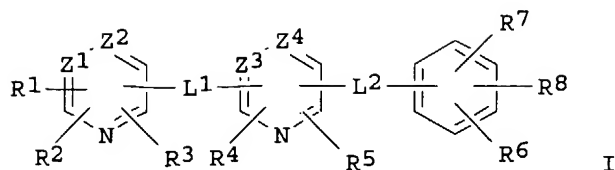
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000046203	A2	20000810	WO 2000-US3042	20000203 <--
	WO 2000046203	A3	20010301		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP	1150955	A2	20011107	EP 2000-907184	20000203 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
PRAI	US 1999-118893P	P	19990204 <--		
	WO 2000-US3042	W	20000203 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2000046203	ICM	C07D213-75
	ICS	C07D213-65; C07D405-14; C07D409-14; C07D213-81; C07D213-82; C07C233-75; A61K031-4427; A61K031-16; A61P025-00; A61P029-00

OS MARPAT 133:164005  
 GI



AB The title compds. (I) [wherein Z1-Z4 = independently N or C; R1-R8 = independently H, alkyl(amino), alkenyl, alkynyl, alkoxy, thioalkyl, hydroxyalkyl, halo(alkyl), NH<sub>2</sub>, or carboxyl; L1 = O, S, NH, NR<sub>7</sub>, (CHR<sub>7</sub>)<sub>n</sub>, C(O), CR<sub>7</sub>OH, or O(CHR<sub>7</sub>)<sub>n</sub>; n = 1-3; L2 = a bond, CH<sub>2</sub>C(O), NHC(O), OC(O), C(O), CH<sub>2</sub>NHC(O), NHC(O)CH<sub>2</sub>, CHOH, (CH<sub>2</sub>)<sub>n</sub>, O, NH, O(CH<sub>2</sub>)<sub>m</sub>, NH(CH<sub>2</sub>)<sub>m</sub>, CH<sub>2</sub>CHOH, and NR<sub>8</sub>C(O); m = 0-3] were prepared for the treatment of neurol., immunol., inflammatory, cancer, and other β-chemokine mediated disorders. For example, coupling of 2-methyl-3-hydroxypyridine with 2-chloro-5-nitropyridine in the presence of NaH (87%), followed by reduction of the nitro group using Fe/AcOH (51%) and acylation of the amine with 4-trifluoromethylbenzoyl chloride, gave II. In a time resolved fluorescence (TRF) assay, II showed very high binding affinity for the CCR10 receptor with IC<sub>50</sub> of < 5 μM.

ST pyridyl benzamide prepn chemokine receptor antagonists; heterocyclyl benzamide prepn G protein coupled receptor binding compd; neurol disorder treatment pyridyl benzamide prepn; immunol disorder treatment pyridyl benzamide prepn; antiinflammatory pyridyl benzamide prepn; anticancer agent pyridyl benzamide prepn

IT Chemokines

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(C-C, receptors, CCR3; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other β-chemokine mediated disorders)

IT Chemokines

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(C-C, β, receptor CCR2; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other β-chemokine mediated disorders)

IT Chemokines

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(C-C, β, receptor CCR6; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other β-chemokine mediated disorders)

IT Chemokines

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(C-C, β, receptor CCR8; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for

the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokines

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

(C-C; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Nervous system

(disease, treatment; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Immunity

(disorder, treatment; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Cell migration

(inhibitor; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Signal transduction, biological

(intercellular communication; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Anti-AIDS agents

Anti-inflammatory agents

Antiasthmatics

Antitumor agents

Chemotaxis

Proliferation inhibition

(preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Multiple sclerosis

(therapeutic agents; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Lupus erythematosus

(treatment; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokine receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

( $\beta$  chemokine receptor CCR2; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokine receptors

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)

( $\beta$  chemokine receptor CCR3; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokine receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
 ( $\beta$  chemokine receptor CCR4; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokine receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
 ( $\beta$  chemokine receptor CCR5; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokine receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
 ( $\beta$  chemokine receptor CCR6; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokine receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
 ( $\beta$  chemokine receptor CCR8; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Chemokines  
 RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
 ( $\beta$ , receptor CCR5; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Cytokine receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
 ( $\beta$ -chemokine, CCR10; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

IT Cytokine receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
 ( $\beta$ -chemokine, CCR7; preparation of substituted N-heterocyclyl benzamide G-protein coupled heptahelical receptor binding compds. for the treatment of neurol., immunol., inflammatory, cancer, and other  $\beta$ -chemokine mediated disorders)

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224796-75-2P	224796-94-5P	224797-07-3P	224797-16-4P	224797-20-0P
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287942-84-1P	287942-85-2P	287942-86-3P	287942-87-4P	287942-88-5P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(GPCR binding compound; preparation of substituted N-heterocyclyl benzamide  $\beta$ -chemokine antagonists and analogs by coupling hydroxyheterocycles with 2-chloro-5-nitroheterocycles, reduction to the amines, and acylation with benzoyl chlorides)

IT 26456-59-7P, 5-Pyrimidinol 31458-33-0P 181633-42-1P 200940-26-7P  
 287944-12-1P 287944-14-3P 287944-18-7P 287944-20-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of substituted N-heterocyclyl benzamide  $\beta$ -chemokine antagonists and analogs by coupling hydroxyheterocycles with 2-chloro-5-nitroheterocycles, reduction to the amines, and acylation with benzoyl chlorides)

IT 100-55-0, 3-Pyridinemethanol 329-15-7, 4-Trifluoromethylbenzoyl chloride  
 586-75-4, 4-Bromobenzoyl chloride 1121-25-1, 2-Methyl-3-hydroxypyridine  
 1710-98-1, 4-tert-Butylbenzoyl chloride 4548-45-2, 2-Chloro-5-nitropyridine  
 4595-59-9, 5-Bromopyrimidine 24026-56-0,  
 4-(Perfluoroisopropyl)benzoyl chloride 36823-88-8, 4-Trifluoromethoxybenzoyl chloride

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (starting material; preparation of substituted N-heterocyclyl benzamide  $\beta$ -chemokine antagonists and analogs by coupling hydroxyheterocycles with 2-chloro-5-nitroheterocycles, reduction to the amines, and acylation with benzoyl chlorides)

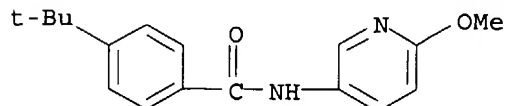
IT 125125-17-9P 287943-86-6P 287943-88-8P  
 287943-90-2P 287943-91-3P 287943-92-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(GPCR binding compound; preparation of substituted N-heterocyclyl benzamide  $\beta$ -chemokine antagonists and analogs by coupling hydroxyheterocycles with 2-chloro-5-nitroheterocycles, reduction to the amines, and acylation with benzoyl chlorides)

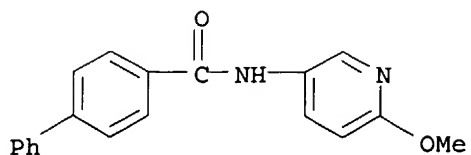
RN 125125-17-9 HCAPLUS

CN Benzamide, 4-(1,1-dimethylethyl)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



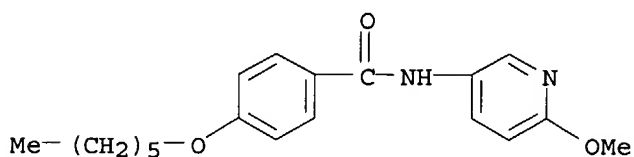
RN 287943-86-6 HCAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



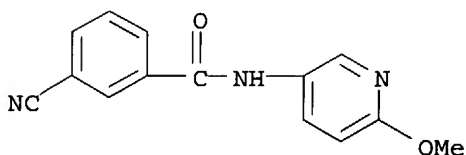
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CN Benzamide, 4-(hexyloxy)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



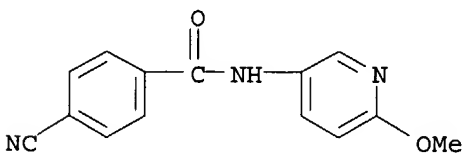
RN 287943-90-2 HCAPLUS

CN Benzamide, 3-cyano-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



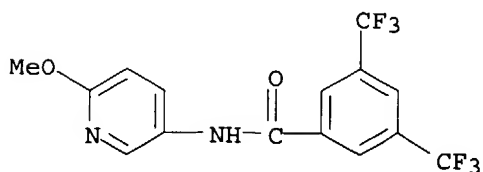
RN 287943-91-3 HCAPLUS

CN Benzamide, 4-cyano-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 287943-92-4 HCAPLUS

CN Benzamide, N-(6-methoxy-3-pyridinyl)-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



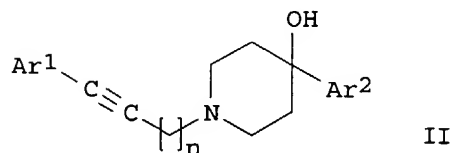
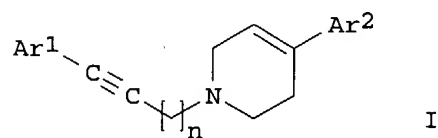
DN 124:175845  
 ED Entered STN: 20 Dec 1995  
 TI Preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents  
 IN Glase, Shelly; Jaen, Juan C.; Smith, Sarah J.; Wise, Lawrence D.  
 PA Warner-Lambert Co., USA  
 SO U.S., 20 pp. Cont.-in-part of U.S. 5,273,977.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM C07D211-74  
 ICS C07D211-22; A61K031-44; A61K031-445  
 NCL 514318000  
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
 Section cross-reference(s): 1  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5466698	A	19951114	US 1993-128923	19930929 <--
	US 5273977	A	19931228	US 1991-778248	19911024 <--
	AT 135690	E	19960415	AT 1992-901652	19911029 <--
	ES 2084339	T3	19960501	ES 1992-901652	19911029 <--
	US 5620988	A	19970415	US 1995-469127	19950606 <--
PRAI	US 1990-609274	B2	19901105	<--	
	US 1991-778248	A2	19911024	<--	
	US 1993-128923	A3	19930929	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5466698	ICM	C07D211-74
	ICS	C07D211-22; A61K031-44; A61K031-445
	NCL	514318000

OS MARPAT 124:175845  
 GI



AB Title compds. I [Ar1 = (substituted) 2-, 3- or 4-pyridinyl, 3-quinolinyl, Ph, etc.; Ar2 = (substituted) Ph, 2-thienyl, etc.; n = 2-4] and II were prepared Reaction of 3-bromoquinoline with 3-butyn-1-ol in the presence of PdCl2(PPh3)2, CuI, Et3N in CH2Cl2 followed by treatment of 4-(3-quinolinyl)-3-butyn-1-ol with (i-Pr)2NEt, MeSO2Cl and a catalytic amount of DMAP and then reaction of crude intermediate with 4-phenyl-1,2,3,6-tetrahydropyridine in DMF afforded I (Ar1 = 3-quinolinyl; Ar2 = Ph; n = 2) which showed IC50 of 41 nM against [3H]spiroperidol binding. It also showed 28% reversal of brain dopamine synthesis in rats at 10 mg/kg i.p.



ST pyridine tetrahydro CNS agent prepn; tetrahydropyridine central nervous system agent prepn; hydroxypiperidine central nervous system agent prepn; dopaminergic tetrahydropyridine hydroxypiperidine prepn; antipsychotic tetrahydropyridine hydroxypiperidine prepn; antihypertensive tetrahydropyridine hydroxypiperidine prepn; antidepressant tetrahydropyridine hydroxypiperidine prepn; schizophrenia treatment tetrahydropyridine hydroxypiperidine prepn

IT Antidepressants  
Antihypertensives  
Nervous system agents  
Schizophrenia  
(preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

IT Tranquilizers and Neuroleptics  
(antipsychotics, preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

IT Mental disorder  
(depression, preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

IT Neurotransmitter agonists  
(dopaminergic, preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

IT 142667-46-7P 142667-47-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

IT 142667-36-5P 142667-37-6P 142667-38-7P 142667-39-8P 142667-41-2P  
142667-45-6P 142667-48-9P 142667-49-0P **142667-50-3P**  
142667-51-4P 142667-52-5P 142667-53-6P 142667-54-7P 142667-55-8P  
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173840-37-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

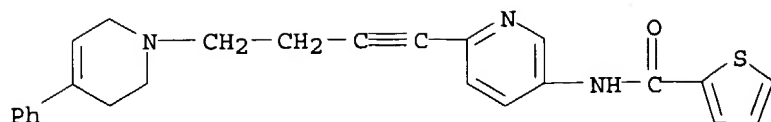
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927-74-2, 3-Butyn-1-ol 4487-59-6, 2-Bromo-5-nitropyridine 5271-67-0,  
2-Thiophenecarbonyl chloride 5332-24-1, 3-Bromoquinoline 10075-50-0,  
5-Bromoindole 10338-69-9, 4-Phenyl-1,2,3,6-tetrahydropyridine  
23418-85-1, 3-Butynyl tosylate  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

IT 137417-35-7P 142667-63-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

IT **142667-50-3P**  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted tetrahydropyridines and hydroxypiperidines as central nervous system agents)

RN 142667-50-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-[6-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-1-butynyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)



L72 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:531077 HCAPLUS  
 DN 117:131077  
 ED Entered STN: 04 Oct 1992  
 TI Preparation of N-(4-aryl-3-butynyl)-4-aryl-1,2,3,6-tetrahydropyridines and  
 analogs as dopaminergics  
 IN Glase, Shelly; Jaen, Juan Carlos; Smith, Sarah Jane; Wise, Lawrence David  
 PA Warner-Lambert Co., USA  
 SO PCT Int. Appl., 101 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07D211-70  
 ICS C07D211-52; C07D401-06; C07D409-14; A61K031-445  
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
 Section cross-reference(s): 1

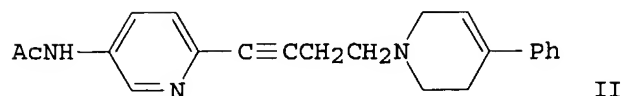
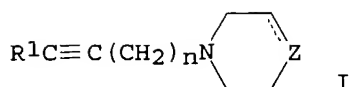
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207831	A1	19920514	WO 1991-US8017	19911029 <--
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
US 5273977	A	19931228	US 1991-778248	19911024 <--
AU 9191231	A1	19920526	AU 1991-91231	19911029 <--
AU 670532	B2	19960725		
EP 556332	A1	19930825	EP 1992-901652	19911029 <--
EP 556332	B1	19960320		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06501952	T2	19940303	JP 1992-502236	19911029 <--
JP 3047470	B2	20000529		
AT 135690	E	19960415	AT 1992-901652	19911029 <--
ES 2084339	T3	19960501	ES 1992-901652	19911029 <--
JP 3047470	B2	20000529	JP 1991-502236	19911029 <--
CA 2094702	C	20020212	CA 1991-2094702	19911029 <--
PRAI US 1990-609274	A	19901105	<--	
US 1991-778248	A	19911024	<--	
WO 1991-US8017	A	19911029	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 9207831	ICM	C07D211-70
	ICS	C07D211-52; C07D401-06; C07D409-14; A61K031-445

OS MARPAT 117:131077  
 GI



AB Title compds. [I; Z = CR<sub>2</sub>, CR<sub>2</sub>OH; R<sub>1</sub>, R<sub>2</sub> = (substituted) (hetero)aryl; n = 2-4] were prepared. Thus, 4-phenyl-1,2,3,6-tetrahydropyridine was condensed with HC.tplbond.CCH<sub>2</sub>CH<sub>2</sub>SO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Me-4 and the product condensed with 2-bromo-5-nitropyridine to give, after reduction and acetylation, title compound

II which had ED<sub>50</sub> of 0.07 mg/kg i.p. for inhibition of locomotor activity in mice.

ST arylpyridine arylbutynyltetrahydro prepn dopaminergic

IT Amenorrhea

Menstruation disorder

Parkinsonism

Schizophrenia

(treatment of, N-(arylbutynyl)tetrahydropyridines and analogs for)

IT Antidepressants

Antihypertensives

Neurotransmitter agonists

(N-(arylbutynyl)tetrahydropyridines and analogs)

IT Tranquilizers and Neuroleptics

(antipsychotics, N-(arylbutynyl)tetrahydropyridines and analogs)

IT Nervous system

(disease, Huntington's chorea, treatment of, N-

(arylbutynyl)tetrahydropyridines and analogs for)

IT Sexual behavior

(disorder, treatment of, N-(arylbutynyl)tetrahydropyridines and analogs for)

IT Lactation

(disorder, galactorrhea, treatment of, N-(arylbutynyl)tetrahydropyridines and analogs for)

IT 137417-35-7P 142667-63-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of dopaminergic agents)

IT 142667-36-5P 142667-37-6P 142667-38-7P 142667-39-8P 142667-40-1P

142667-41-2P 142667-42-3P 142667-43-4P 142667-44-5P 142667-45-6P

142667-46-7P 142667-47-8P 142667-48-9P 142667-49-0P

**142667-50-3P** 142667-51-4P 142667-52-5P 142667-53-6P

142667-54-7P 142667-55-8P 142667-56-9P 142667-57-0P 142667-58-1P

142667-59-2P 142667-60-5P 142667-61-6P 142667-62-7P 142913-54-0P

142913-55-1P 142913-56-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as dopaminergic agent)

IT 927-74-2, 3-Butyn-1-ol 4487-59-6, 2-Bromo-5-nitropyridine 5271-67-0,  
2-Thiophene carbonyl chloride 5332-24-1, 3-Bromoquinoline 10338-69-9,  
4-Phenyl-1,2,3,6-tetrahydropyridine 23418-85-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of dopaminergic agents)

IT **142667-50-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as dopaminergic agent)

RN 142667-50-3 HCAPLUS

CN 2-Thiophenecarboxamide, N-[6-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)-1-

c1ccc(cc1)N2CCCCC2CC#Cc3ccc(nc3)NC(=O)c4ccsc4

FAN.CNT 1					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	DE 3804346	A1	19890824	DE 1988-3804346	19880212 <--
PRAI	DE 1988-3804346		19880212 <--		

OS CASREACT 112:76956; MARPAT 112:76956  
GI For diagram(s), see printed CA Issue.  
AB The title compds. [I; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, halo, OH, alkoxy, alkenyloxy, alkynyloxy, cycloalkoxy, cycloalkenyloxy, alkylthio, imidazolyl, triazolyl, morpholinyl, thiomorphilinyl, (substituted) pyridinyloxy, pyridinylthio, quinolinyl, naphthyloxy, indolyloxy, oxindolyloxy, etc.; A-B = CONH, NHCO]; useful as cardiovascular agents (no data), were prepared Thus, 4-Me3CC6H4COC1 in CH2Cl2 was added to 5-amino-2-(1-cyanophenyloxy)pyridine and Et3N in CH2Cl2 with ice cooling. The mixture was stirred 10 min at room temperature to give 23% 4-tert-butyl-N-[6(4-cyanophenyloxy)-3-pyridinyl]benzamide.  
ST butylphenylcarbamoilpyridine prepn cardiovascular agent; pyridine butylphenylcarbamoil prepn cardiovascular agent  
IT Erythrocyte  
(aggregation of, inhibitors of, butylphenylcarbamoilpyridines as)  
IT Antihypertensives  
Cardiotonics  
(butylphenylcarbamoilpyridines)  
IT Blood platelet

(function modifiers, butylphenylcarbamoylpyridines)

IT 1710-98-1, p-tert-Butylbenzoyl chloride  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation by, of amino(cyanophenyloxy)pyridine, in preparation of cardiovascular agent)

IT 66608-11-5, 2-Chloropyridine-5-carbonyl chloride hydrochloride  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation by, of butylaniline, in preparation of cardiovascular agent)

IT 125125-29-3, 5-Amino-2-(4-cyanophenyloxy)pyridine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation of, by benzoyl chloride derivative, in preparation of cardiovascular agent)

IT 769-92-6, 4-tert-Butylaniline  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation of, by chloropyridinecarbonyl chloride, in preparation of cardiovascular agent)

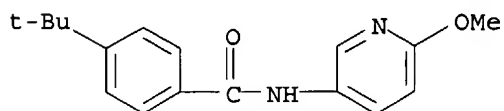
IT 109-00-2, 3-Hydroxypyridine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with chlorophenylpyridinecarboxamide, in preparation of cardiovascular agent)

IT 92571-51-2P 125125-04-4P 125125-05-5P 125125-06-6P 125125-07-7P  
 125125-08-8P 125125-09-9P 125125-10-2P 125125-11-3P 125125-12-4P  
 125125-13-5P 125125-14-6P 125125-15-7P 125125-16-8P  
**125125-17-9P** 125125-18-0P 125125-19-1P 125125-20-4P  
 125125-21-5P 125125-22-6P 125125-23-7P 125125-24-8P 125125-25-9P  
 125125-26-0P 125125-27-1P 125125-28-2P 125177-20-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as cardiovascular agent)

IT **125125-17-9P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as cardiovascular agent)

RN 125125-17-9 HCAPLUS

CN Benzamide, 4-(1,1-dimethylethyl)-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



L72 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:400341 HCAPLUS

DN 77:341

ED Entered STN: 12 May 1984

TI Antiulcer agents. p-Aminobenzamido aromatic compounds

AU Moffett, Robert B.; Rober, Andre; Skaletsky, Louis L.

CS Res. Lab., Upjohn Co., Kalamazoo, MI, USA

SO Journal of Medicinal Chemistry (1971), 14(10), 963-8  
 CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

CC 1-5 (Pharmacodynamics)

AB A series of 2-(p-aminobenzamido)pyridines (I), including 2-(p-aminobenzamido)-3-methylpyridine [17710-06-4] (I, R = 3-Me), had a significant inhibitory effect on exptl. gastric ulcers in rats and showed

some central depressant activity. The I were prepared from p-nitrobenzoyl chloride [122-04-3] and the requisite aromatic amines, followed by reduction of the resulting nitro compds. Attachment of the p-aminobenzamido group at other positions on the pyridine ring or substitution of other N-containing heterocycles for pyridine, greatly decreased the activity. Surprisingly, substitution of a benzene ring in place of the pyridine ring gave a highly active but toxic p-aminobenzanilide [782-45-6].

ST amidobenzamidopyridines antiulceric; benzamidopyridines gastric ulcer

IT Nervous system

(depressants of central, (aminobenzamido)pyridines as)

IT Ulcer

(inhibitors of, (aminobenzamido)pyridines as)

IT Molecular structure-biological activity relationship

(ulcer inhibiting, of (aminobenzamido)pyridines)

IT 122-04-3

RL: BIOL (Biological study)

((aminobenzamido)pyridines synthesis from)

IT 782-45-6 888-78-8 4424-17-3 5221-44-3 6229-22-7 7467-42-7  
 7498-40-0 13160-58-2 13160-59-3 13160-61-7 13313-18-3 14315-16-3  
 14547-74-1 17710-04-2 17710-05-3 17710-06-4 17710-07-5  
 17710-08-6 17772-07-5 23612-46-6 33120-25-1 35353-21-0  
 36844-88-9 36844-89-0 36844-93-6 36844-94-7 36844-95-8  
 36844-96-9 36844-97-0 36844-98-1 36844-99-2 36845-01-9  
 36845-02-0 36845-03-1 36845-05-3 36845-08-6 36845-09-7  
 36845-10-0 36845-11-1 36845-12-2 36845-13-3 36845-14-4  
 36845-15-5 36845-16-6 36855-54-6 36855-55-7 36855-58-0  
**36855-59-1** 36855-63-7 36855-64-8 36855-65-9 36855-66-0  
 36855-67-1 36855-68-2 36855-69-3 36855-70-6 36855-72-8  
 36855-73-9 36855-74-0 36855-75-1 36855-76-2 36855-77-3  
 36855-78-4 36855-80-8 36855-81-9 36876-09-2 36918-74-8  
 36918-75-9 36918-77-1 36918-78-2 36918-79-3 36918-80-6  
 36987-32-3 36987-33-4 37586-00-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiulcer and nervous system activity of)

IT 36855-85-3P 36855-86-4P 36855-87-5P 36855-88-6P 36855-89-7P  
 36855-90-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

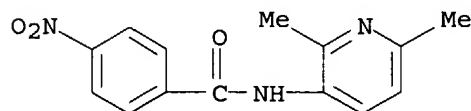
IT **36855-59-1**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(antiulcer and nervous system activity of)

RN 36855-59-1 HCAPLUS

CN Benzamide, N-(2,6-dimethyl-3-pyridinyl)-4-nitro- (9CI) (CA INDEX NAME)



=> d 165 all fhitstr tot

L65 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:886851 HCAPLUS

DN 136:20023

ED Entered STN: 07 Dec 2001

TI Preparation of pyridine-substituted benzanilides as **potassium channel** openers

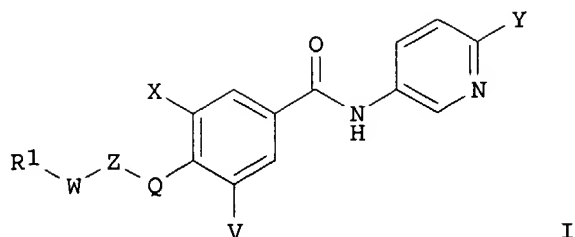
IN **McNaughton-Smith, Grant; Fritch, Paul Christopher; Amato, George Salvatore**  
 PA USA  
 SO U.S. Pat. Appl. Publ., 37 pp., Cont.-in-part of U.S. Ser. No. 632,576.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC C07D041-02  
 ICS C07D213-82  
 NCL 546268100  
 CC 27-16 (Heterocyclic Compounds (One Hetero Atom))  
 Section cross-reference(s): 1

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2001049444	A1	20011206	US 2001-776791	20010202 <--
	US 6495550	B2	20021217		
	US 6326385	B1	20011204	US 2000-631747	20000804 <--
	US 6372767	B1	20020416	US 2000-632576	20000804 <--
	US 2002013349	A1	20020131	US 2001-939230	20010824 <--
	US 2002091122	A1	20020711	US 2001-4122	20011101 <--
	US 6737422	B2	20040518		
	US 2002052393	A1	20020502	US 2001-2800	20011102 <--
	US 6605725	B2	20030812		
	WO 2002062295	A2	20020815	WO 2002-US3061	20020201
	WO 2002062295	A3	20030703		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP	1363884	A2	20031126	EP 2002-704333	20020201
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 1999-147221P	P	19990804	<--	
	US 2000-632576	A2	20000804	<--	
	US 1999-158712P	P	19991008	<--	
	US 1999-165847P	P	19991116	<--	
	US 2000-631747	A	20000804	<--	
	US 2001-776791	A	20010202		
	WO 2002-US3061	W	20020201		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2001049444	IC	C07D041-02
	ICS	C07D213-82
	NCL	546268100
US 2001049444	ECLA	C07D213/75B4; C07D213/75B8; C07D401/12; C07D405/12; C07D405/12; C07D009/12; C07D417/12 <--
US 2002091122	ECLA	A61K031/00; A61K031/44; C07D213/75B8; C07D213/75B4; C07D401/12; C07D405/12; C07D409/12; C07D417/12 <--
US 2002052393	ECLA	C07D213/75B8; C07D213/75B4; C07D401/12; C07D405/12; C07D405/12; C07D009/12; C07D417/12 <--
OS	MARPAT 136:20023	
GI		



- AB The title compds. [I; Y = H, Me, OMe, OCF<sub>3</sub>, halo; V, X = H, halo, alkyl, etc.; R<sub>1</sub> = alkyl, heteroalkyl, aryl, etc.; Q, W = C.tplbond.C, (un)substituted CH:CH, alkylene; Z = O, CO, (un)substituted NH, etc.] which are **voltage-dependent potassium channel** openers, and are useful for the treatment of **central and peripheral nervous system** disorders, were prepared General procedures for preparing compds. I such as 3,4-dichloro-N-(pyridin-3-yl)benzamide were given. The activity of compds. I, assayed according to a KCNQ2 screening protocol, ranged from about 30% to greater than about 70% efflux.
- ST benzanilide pyridine substituted prepn **potassium channel** opener; nervous system agent benzanilide prepn; benzamide pyridyl prepn **potassium channel** opener
- IT Aging, animal  
(age-related memory loss; preparation of benzanilides as **potassium channel** openers)
- IT **Nervous system, disease**  
(ataxia; preparation of benzanilides as **potassium channel** openers)
- IT **Mental disorder**  
(bipolar disorder; preparation of benzanilides as **potassium channel** openers)
- IT Antitumor agents  
(brain; preparation of benzanilides as **potassium channel** openers)
- IT Vision  
(disorder, vision loss; preparation of benzanilides as **potassium channel** openers)
- IT Learning  
(disorder; preparation of benzanilides as **potassium channel** openers)
- IT **Brain, neoplasm**  
(inhibitors; preparation of benzanilides as **potassium channel** openers)
- IT Hearing  
(loss; preparation of benzanilides as **potassium channel** openers)
- IT **Mental disorder**  
(mood-affecting; preparation of benzanilides as **potassium channel** openers)
- IT **Nerve, disease**  
(motor; preparation of benzanilides as **potassium channel** openers)
- IT Muscle, disease  
(myokymia; preparation of benzanilides as **potassium channel** openers)
- IT **Ion channel openers**  
(**potassium**; preparation of benzanilides as **potassium channel** openers)
- IT **Anti-Alzheimer's agents**



**Anticonvulsants**  
**Antimigraine agents**  
**Antiparkinsonian agents**  
**Antipsychotics**  
**Cognition enhancers**  
**Nervous system agents**  
 (preparation of benzanilides as **potassium channel**  
 openers)

IT **Nervous system, disease**  
 (spasticity; preparation of benzanilides as **potassium**  
**channel openers**)

IT **Brain, disease**  
 (stroke; preparation of benzanilides as **potassium**  
**channel openers**)

IT 304885-01-6P 325457-87-2P 325457-88-3P  
 325457-89-4P 325457-90-7P 325457-91-8P  
 325457-92-9P 325457-93-0P 325457-94-1P  
 325457-95-2P 325457-96-3P 325457-97-4P  
 325457-98-5P 325457-99-6P 325458-00-2P  
 325458-01-3P 325458-02-4P 325458-03-5P  
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 325458-32-0P 378241-06-6P 378241-08-8P  
 378241-09-9P 378241-10-2P 378241-11-3P  
 378241-12-4P 378241-13-5P 378241-14-6P  
 378241-15-7P 378241-16-8P 378241-17-9P  
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 378241-21-5P 378241-22-6P 378241-23-7P  
 378241-24-8P 378241-25-9P 378241-26-0P  
 378241-27-1P 378241-28-2P 378241-29-3P  
 378241-31-7P 378241-32-8P 378241-33-9P  
 378241-34-0P 378241-35-1P 378241-36-2P  
 378241-37-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of benzanilides as **potassium channel**  
 openers)

IT 2251-65-2, 3-(Trifluoromethyl)benzoyl chloride 3222-47-7,  
 6-Methylnicotinic acid 4487-59-6 4548-45-2, 5-Nitro-2-chloropyridine  
 5350-93-6, 5-Amino-2-chloropyridine 231291-22-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of benzanilides as **potassium channel**  
 openers)

IT 456-24-6P 13534-97-9P, 5-Amino-2-bromopyridine 323578-37-6P  
 325457-86-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of benzanilides as **potassium channel**  
 openers)

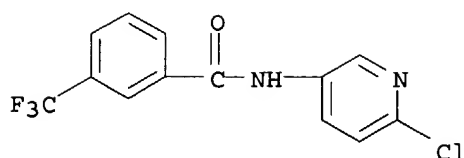
IT 325457-87-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of benzanilides as **potassium channel**  
 openers)

RN 325457-87-2 HCAPLUS

CN Benzamide, N-(6-chloro-3-pyridinyl)-3-(trifluoromethyl)- (9CI) (CA INDEX

(NAME)



L65 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2001:114932 HCAPLUS  
 DN 134:157577  
 ED Entered STN: 15 Feb 2001  
 TI Benzanilides as **potassium channel** openers,  
 compositions, and preparation thereof  
 IN **McNaughton-Smith, Grant Andrew; Gross, Michael Francis**  
**; Wickenden, Alan David**  
 PA **Icagen, Inc., USA**  
 SO PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K  
 CC 1-11 (**Pharmacology**)  
 Section cross-reference(s): 27, 28, 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2001010380	A2	20010215	WO 2000-US21308	20000804 <--	
	WO 2001010380	A3	20010816			
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	AU 2000067585	A5	20010305	AU 2000-67585	20000804 <--	
	US 6326385	B1	20011204	US 2000-631747	20000804 <--	
	EP 1208085	A2	20020529	EP 2000-955367	20000804 <--	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL		
	JP 2003506387	T2	20030218	JP 2001-514905	20000804 <--	
	NZ 516610	A	20040528	NZ 2000-516610	20000804 <--	
	US 2002013349	A1	20020131	US 2001-939230	20010824 <--	
	ZA 2002000502	A	20030205	ZA 2002-502	20020121 <--	
	US 2004157829	A1	20040812	US 2004-770658	20040202 <--	
PRAI	US 1999-147221P	P	19990804	<--		
	US 1999-158712P	P	19991008	<--		
	US 1999-165847P	P	19991116	<--		
	US 2000-631747	A	20000804	<--		
	US 2000-632576	A	20000804	<--		
	WO 2000-US21308	W	20000804	<--		
	US 2001-4122	A1	20011101			

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2001010380	ICM	A61K

OS MARPAT 134:157577  
AB Benzanilides are provided which are **voltage-dependent potassium channel** openers. Compns. and methods of using the benzanilides are also provided. The compds. of the invention are useful for the treatment of **central and peripheral nervous system** disorders.  
ST benzanilide prepn **potassium channel** opener  
therapeutic; nervous system agent benzanilide prepn  
IT Aging, animal  
(age-related memory loss; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Nervous system**  
(ataxia; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Anti-Alzheimer's agents**  
**Anticonvulsants**  
Antimigraine agents  
**Antiparkinsonian agents**  
**Antipsychotics**  
**Cognition enhancers**  
Drug delivery systems  
**Nervous system agents**  
(benzanilides as **potassium channel** openers, compns., and preparation)  
IT Antitumor agents  
(brain; benzanilides as **potassium channel** openers, compns., and preparation)  
IT Vision  
(disorder, vision loss; benzanilides as **potassium channel** openers, compns., and preparation)  
IT Learning  
(disorder; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Brain, neoplasm**  
(inhibitors; benzanilides as **potassium channel** openers, compns., and preparation)  
IT Hearing  
(loss; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Mental disorder**  
(manic bipolar disorder; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Mental disorder**  
(mood-affecting; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Nerve, disease**  
(motor; benzanilides as **potassium channel** openers, compns., and preparation)  
IT Muscle, disease  
(myokymia; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Ion channel openers**  
(**potassium**; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Nervous system**  
(**spasticity**; benzanilides as **potassium channel** openers, compns., and preparation)  
IT **Brain, disease**  
(**stroke**; benzanilides as **potassium channel** openers, compns., and preparation)  
IT 304885-01-6P 325457-87-2P 325457-88-3P  
325457-90-7P 325457-91-8P 325457-92-9P  
325457-93-0P 325457-94-1P 325457-95-2P

325457-96-3P 325457-97-4P 325457-98-5P  
 325457-99-6P 325458-00-2P 325458-01-3P  
 325458-02-4P 325458-03-5P 325458-04-6P  
 325458-05-7P 325458-06-8P 325458-07-9P  
 325458-08-0P 325458-09-1P 325458-10-4P  
 325458-11-5P 325458-12-6P 325458-13-7P  
 325458-14-8P 325458-15-9P 325458-16-0P  
 325458-17-1P 325458-18-2P 325458-19-3P  
 325458-20-6P 325458-21-7P 325458-22-8P  
 325458-23-9P 325458-24-0P 325458-25-1P  
 325458-26-2P 325458-27-3P 325458-32-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzanilides as **potassium channel** openers, compns., and preparation)

IT 456-24-6P 13534-97-9P, 5-Amino-2-bromopyridine 323578-37-6P  
 325457-86-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (benzanilides as **potassium channel** openers, compns., and preparation)

IT 325457-89-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(reaction; benzanilides as **potassium channel** openers, compns., and preparation)

IT 2251-65-2, 3-(Trifluoromethyl)benzoyl chloride 3222-47-7,  
 6-Methylnicotinic acid 4487-59-6 4548-45-2, 5-Nitro-2-chloropyridine  
 5350-93-6, 5-Amino-2-chloropyridine 231291-22-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction; benzanilides as **potassium channel** openers, compns., and preparation)

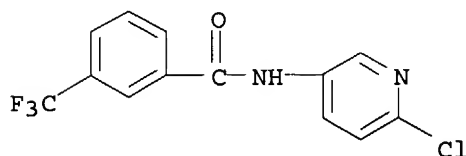
IT 325457-87-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(benzanilides as **potassium channel** openers, compns., and preparation)

RN 325457-87-2 HCAPLUS

CN Benzamide, N-(6-chloro-3-pyridinyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



=> fil reg

FILE 'REGISTRY' ENTERED AT 16:57:11 ON 07 SEP 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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STRUCTURE FILE UPDATES: 6 SEP 2004 HIGHEST RN 740796-45-6  
DICTIONARY FILE UPDATES: 6 SEP 2004 HIGHEST RN 740796-45-6

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

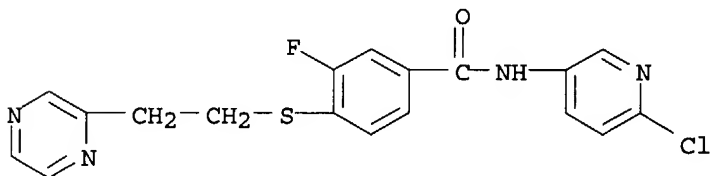
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> => d l28 ide can 1 5 10 15 20 25 30 35 40 45 50 55 60 65 70 73

L28 ANSWER 1 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 378241-37-3 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3-fluoro-4-[(2-pyrazinylethyl)thio]-  
(9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C18 H14 Cl F N4 O S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)

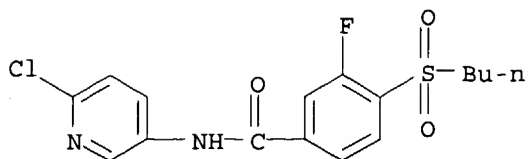


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

L28 ANSWER 5 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 378241-33-9 REGISTRY  
CN Benzamide, 4-(butylsulfonyl)-N-(6-chloro-3-pyridinyl)-3-fluoro- (9CI) (CA  
INDEX NAME)  
FS 3D CONCORD  
MF C16 H16 Cl F N2 O3 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)

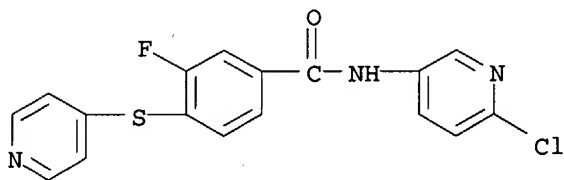


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

L28 ANSWER 10 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 378241-27-1 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3-fluoro-4-(4-pyridinylthio)- (9CI)  
(CA INDEX NAME)  
FS 3D CONCORD  
MF C17 H11 Cl F N3 O S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
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(Uses)

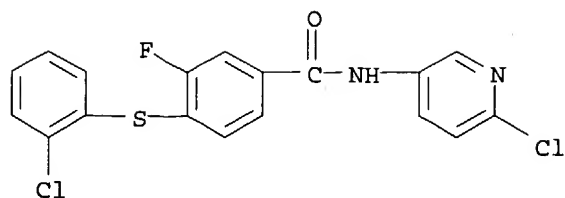


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

L28 ANSWER 15 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 378241-22-6 REGISTRY  
CN Benzamide, 4-[(2-chlorophenyl)thio]-N-(6-chloro-3-pyridinyl)-3-fluoro-  
(9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C18 H11 Cl2 F N2 O S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
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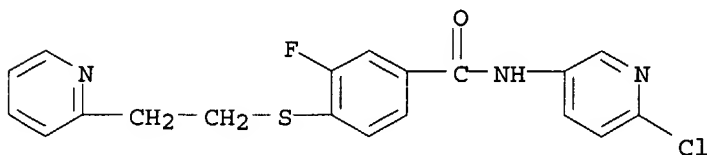


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

L28 ANSWER 20 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 378241-17-9 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3-fluoro-4-[[2-(2-pyridinyl)ethyl]thio]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C19 H15 Cl F N3 O S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
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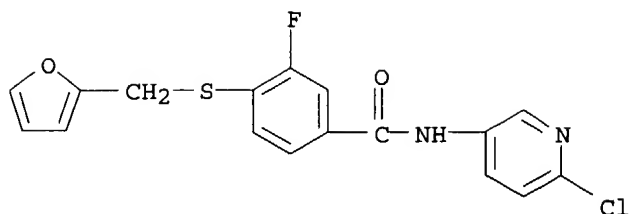


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

L28 ANSWER 25 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 378241-12-4 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3-fluoro-4-[(2-furanylmethyl)thio]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C17 H12 Cl F N2 O2 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

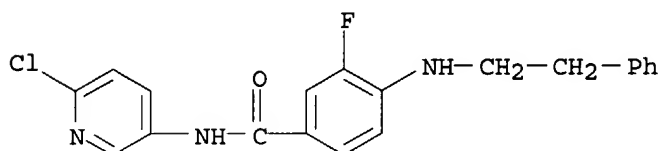


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

L28 ANSWER 30 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 378241-06-6 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3-fluoro-4-[(2-phenylethyl)amino]-(9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C20 H17 Cl F N3 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



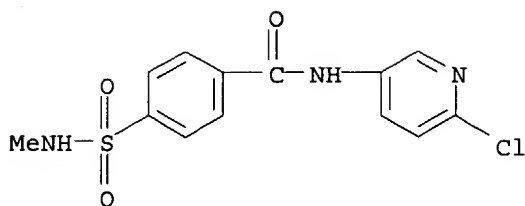
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

L28 ANSWER 35 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 325458-24-0 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-4-[(methylanino)sulfonyl]-(9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C13 H12 Cl N3 O3 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)





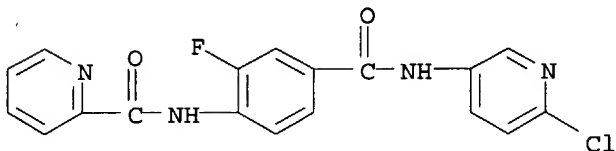
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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 40 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 325458-19-3 REGISTRY  
CN 2-Pyridinecarboxamide, N-[4-[[[6-chloro-3-pyridinyl)amino]carbonyl]-2-fluorophenyl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C18 H12 Cl F N4 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



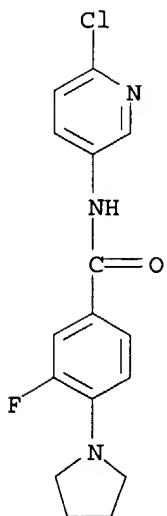
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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 45 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 325458-14-8 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3-fluoro-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C16 H15 Cl F N3 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 50 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN

RN 325458-09-1 REGISTRY

CN 1H-Indole-2-carboxamide, N-(6-chloro-3-pyridinyl)-5-ethyl- (9CI) (CA  
INDEX NAME)

FS 3D CONCORD

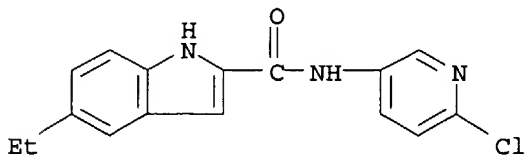
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SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

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RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)



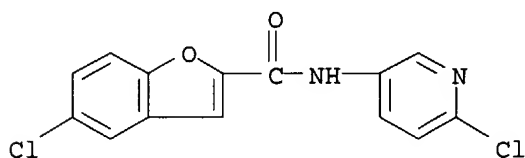
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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 55 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 325458-04-6 REGISTRY  
CN 2-Benzofurancarboxamide, 5-chloro-N-(6-chloro-3-pyridinyl)- (9CI) (CA  
INDEX NAME)  
FS 3D CONCORD  
MF C14 H8 Cl2 N2 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
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RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)



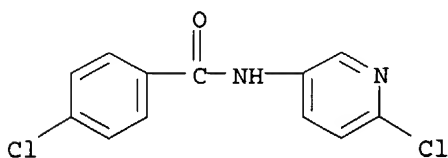
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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 60 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 325457-99-6 REGISTRY  
CN Benzamide, 4-chloro-N-(6-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C12 H8 Cl2 N2 O  
SR CA  
LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER, USPAT2, USPATFULL  
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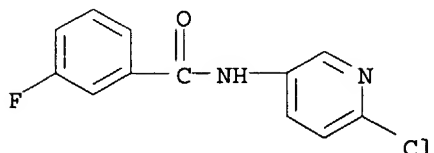
2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 65 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN

RN 325457-94-1 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3-fluoro- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C12 H8 Cl F N2 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
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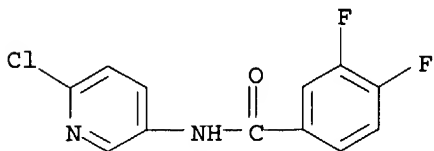
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2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 70 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 325457-89-4 REGISTRY  
CN Benzamide, N-(6-chloro-3-pyridinyl)-3,4-difluoro- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C12 H7 Cl F2 N2 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL  
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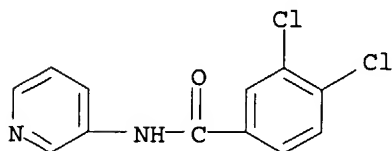
2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

L28 ANSWER 73 OF 73 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 304885-01-6 REGISTRY  
CN Benzamide, 3,4-dichloro-N-3-pyridinyl- (9CI) (CA INDEX NAME)  
FS 3D CONCORD

MF C12 H8 Cl2 N2 O  
SR Chemical Library  
LC STN Files: CA, CAPLUS, CHEMCATS, TOXCENTER, USPAT2, USPATFULL  
DT.CA CAplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:20023

REFERENCE 2: 134:157577

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